

# SEARCH REQUEST FORM

Scientific and Technical Information Center

11/15/02

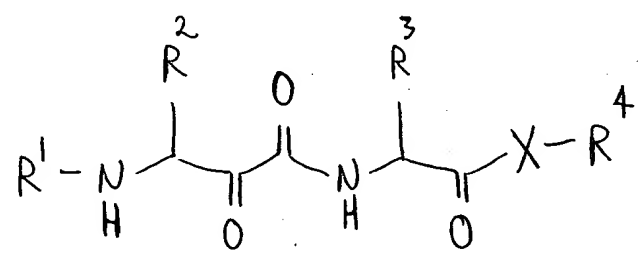
Requester's Full Name: David Lukton Examiner #: 71263 Date: 11/15/02  
Art Unit: 1653 Phone Number 301 83213 Serial Number: 09-728653  
Mail Box and Bldg/Room Location: \_\_\_\_\_ Results Format Preferred (circle): PAPER DISK E-MAIL  
Mailbox: 9B01; Exr Rm: 9B05  
If more than one search is submitted, please prioritize searches in order of need.

Title: alpha-KETOAMIDE INHIBITORS OF HEPATITIS C VIRUS NS3 PROTEASE

Applicant: Wei Han

Earliest Priority Date: 12/3/99

\*\*\*\*



R1 = anything

R2 = anything

R3 = anything

R4 = anything

X = -NH- or -O-

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## STAFF USE ONLY

### Type of Search

### Vendors and cost where applicable

Searcher: \_\_\_\_\_ NA Sequence (#) \_\_\_\_\_ STN \_\_\_\_\_  
Searcher Phone #: Point of Contact AA Sequence (#) \_\_\_\_\_ Dialog \_\_\_\_\_  
P. Sheppard Telephone number: (703) 308-4499 Structure (#) \_\_\_\_\_ Questel/Orbit \_\_\_\_\_  
Date Searcher Picked Up: \_\_\_\_\_ Bibliographic \_\_\_\_\_ Dr. Link \_\_\_\_\_  
Date Completed: 11/18/02 Litigation \_\_\_\_\_ Lexis/Nexis \_\_\_\_\_  
Searcher Prep & Review Time: \_\_\_\_\_ Fulltext \_\_\_\_\_ Sequence Systems \_\_\_\_\_  
Clerical Prep Time: \_\_\_\_\_ Patent Family \_\_\_\_\_ WWW/Internet \_\_\_\_\_  
Online Time: \_\_\_\_\_ Other \_\_\_\_\_ Other (specify) \_\_\_\_\_

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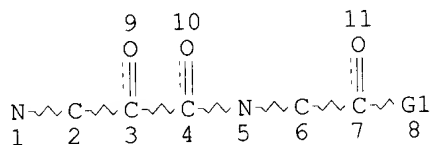
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=> d stat que  
 L1

STR



VAR G1=N/O

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

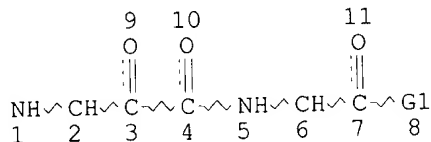
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE

L3 1987 SEA FILE=REGISTRY SSS FUL L1

L4 STR



VAR G1=NH/O

NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:  
RING(S) ARE ISOLATED OR EMBEDDED  
NUMBER OF NODES IS 11

STEREO ATTRIBUTES: NONE

L5 1955 SEA FILE=REGISTRY SUB=L3 SSS FUL L4  
L6 68 SEA FILE=HCAPLUS ABB=ON PLU=ON L5  
L7 44 SEA FILE=HCAPLUS ABB=ON PLU=ON L6 AND PD<DECEMBER 3 1999  
L8 17584 SEA FILE=REGISTRY ABB=ON PLU=ON PROTEASE? OR PROTEINASE?  
L9 173122 SEA FILE=HCAPLUS ABB=ON PLU=ON L8 OR PROTEASE? OR PROTEINASE?  
  
L10 24 SEA FILE=HCAPLUS ABB=ON PLU=ON L9 AND L7  
L11 7 SEA FILE=HCAPLUS ABB=ON PLU=ON L10 AND ?KETOAMI?

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=> d ibib abs hitrn l11 1-7

L11 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:760024 HCAPLUS

DOCUMENT NUMBER: 132:93653

TITLE: Preparation of .alpha.-**ketoamide** peptides as  
antiviral HCV **proteinase** inhibitors

INVENTOR(S): Hurst, David Nigel; Jones, Philip Stephen; Kay, Paul  
Brittain; Raynham, Tony Michael; Wilson, Francis  
Xavier

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: Fr. Demande, 130 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

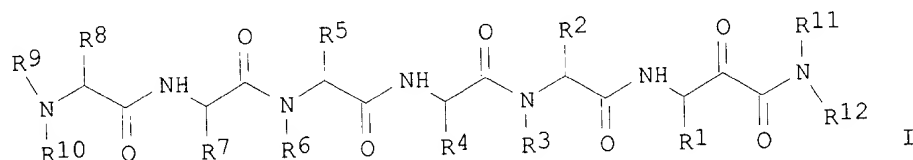
LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2778406	A1	19991112	FR 1999-5650	19990504 <--
US 6187905	B1	20010213	US 1999-305030	19990504
IT 1312558	B1	20020422	IT 1999-MI950	19990504
GB 2338482	A1	19991222	GB 1999-10384	19990505
ES 2165269	A1	20020301	ES 1999-918	19990505
JP 11349597	A2	19991221	JP 1999-125419	19990506
DE 19920966	A1	20000113	DE 1999-19920966	19990506
			GB 1998-9664	A 19980506

PRIORITY APPLN. INFO.:  
OTHER SOURCE(S): MARPAT 132:93653  
GI



AB .alpha.-**Ketoamide** peptides I (R1 = alkyl, haloalkyl, cyanoalkyl, aralkyl, thioalkyl, heteroalkyl, alkenyl, alkynyl; R2 = alkyl, hydroxyalkyl, carboxyalkyl, aralkyl, aminocarbonylalkyl, cycloalkyl, arylalkoxyalkyl; R3, R6, R9 = independently H, alkyl; R2R3 = alkylidene;

R4 = alkyl, hydroxyalkyl, cycloalkyl, carboxyalkyl, arylalkyl, arylalkoxyalkyl, thioalkyl, cyanoalkyl, alkenyl, aryl, heteroarylalkyl, arylsulfonylalkyl, acetamidothioalkyl, cycloalkyl; R5 = alkyl, hydroxyalkyl, thioalkyl, aralkyl, cyanoalkyl, thioalkyl, cycloalkyl, arylalkoxyalkyl, aryl, arylsulfonylguanidinoalkyl, heteroarylalkyl; R7 = H, alkyl, carboxyalkyl, hydroxyalkyl, arylalkyl, cycloalkyl, heteroarylalkyl, nitroguanidinoalkyl, thioalkyl, arylalkoxycarbonylalkyl, formamidoalkyl; R8 = alkyl, cycloalkyl, carboxyalkyl, arylalkoxyalkyl, mercaptoalkyl, aryl, nitroguanidinoalkyl, thioalkyl, formamidoalkyl; R8R9 = sulfur-contg, trimethylene; R10 = alkyl, alkoxyalkylcarbonyl, acyl; R11, R12 = independently H, alkyl, aryl, arylalkyl, cycloalkyl, alkoxy, OH) were prepd. as HCV **proteinase** inhibitors and antiviral agents.  
 3(RS)-[[[N-[N-[N-[N-[N-(3-carboxypropionyl)-L-.alpha.-aspartyl]-L-.alpha.-glutamyl]-2-methyl-L-phenylalanyl]-3-methyl-L-valyl]-L-leucyl]amino]-5,5,5-trifluoro-N-[1(S)-2-naphthylethyl]-2-oxovaleramide was prepd. as antiviral HCV **proteinase** inhibitor (EC50 = 0.004 .mu.mol/L).

## IT 254437-29-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of .alpha.-**ketoamide** peptides as antiviral HCV **proteinase** inhibitors)

## IT 9001-92-7, Proteinase

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (prepn. of .alpha.-**ketoamide** peptides as antiviral HCV **proteinase** inhibitors)

## IT 254437-27-9P 254437-28-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (prepn. of .alpha.-**ketoamide** peptides as antiviral HCV **proteinase** inhibitors)

L11 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:249080 HCAPLUS

DOCUMENT NUMBER: 130:281997

TITLE: Quinoline-containing .alpha.-**ketoamide** cysteine and serine **protease** inhibitors

INVENTOR(S): Chatterjee, Sankar; Mallamo, John P.; Dunn, Derek  
 Douglas; Josef, Kurt Allen; Gu, Zi-qiang; Daines, Robert A.; Kingsbury, William Dennis; Pendrak, Israel; Sham, Kelvin C.

PATENT ASSIGNEE(S): Cephalon Inc., USA

SOURCE: PCT Int. Appl., 51 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

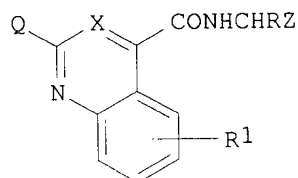
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9917775	A1	19990415	WO 1998-US21054	19981007 <--
W:	AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6083944	A	20000704	US 1998-167193	19981006
CA 2304204	AA	19990415	CA 1998-2304204	19981007 <--

AU 9897882 A1 19990427 AU 1998-97882 19981007 <--  
 AU 749099 B2 20020620  
 EP 1032393 A1 20000906 EP 1998-952109 19981007  
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI  
 JP 2001518506 T2 20011016 JP 2000-514646 19981007  
 PRIORITY APPLN. INFO.: US 1997-61267P P 19971007  
 US 1998-167193 A 19981006  
 WO 1998-US21054 W 19981007

OTHER SOURCE(S): MARPAT 130:281997  
 GI



- AB Quinoline-contg. .alpha.-keto amides I [X = CH, N, CQ1 (at least one of Q or Q1 = H); R = H, alkyl, arylalkyl, etc.; R1 = H, halo, cyano, NO2, etc.; Q, Q1 = H, alkyl, cycloalkyl, OH, alkoxy, etc.; Z = COCONHR7 and R7 = alkyl, alkenyl, alkynyl, etc.], inhibitors of cysteine and serine **proteases**, were prepd. E.g., I (Q = PhC.tplbond.C; X = CH; R = CH2Ph; Z = COCONHCH2CF3) was prepd. Inhibition of cathepsin B, .alpha.-chymotrypsin, and calpain I by I was investigated.
- IT **78990-62-2**, Calpain  
 RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)  
 (I; prepn. of quinoline-contg. .alpha.-**ketoamide** cysteine and serine **protease** inhibitors)
- IT **222959-78-6P**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of quinoline-contg. .alpha.-**ketoamide** cysteine and serine **protease** inhibitors)
- IT **37259-58-8**, Serine **protease** **37353-41-6**, Cysteine **protease**  
 RL: BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study)  
 (prepn. of quinoline-contg. .alpha.-**ketoamide** cysteine and serine **protease** inhibitors)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1999:180641 HCAPLUS

DOCUMENT NUMBER: 130:267755

TITLE: Solid and solution phase synthesis of .alpha.-keto amides via azetidinone ring-opening: application to the synthesis of poststatin

AUTHOR(S): Khim, Seock-Kyu; Nuss, John M.

CORPORATE SOURCE: Chiron Corporation, Emeryville, CA, 94608-2916, USA

SOURCE: Tetrahedron Letters (1999), 40(10), 1827-1830

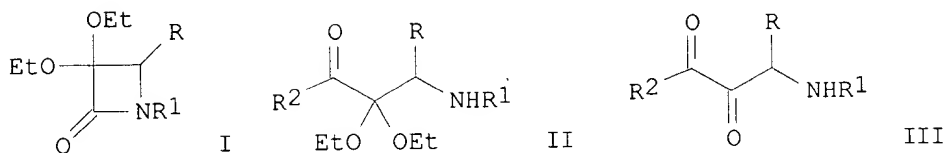
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 130:267755  
GI



AB 3,3-Diethoxy-N-sulfonyl- and -carbamoylazetidin-2-ones I [R = Ph, Et; R1 = tosyl (Ts), allyloxycarbonyl (Alloc)] undergo efficient ring-opening reaction with various amine nucleophiles to give protected **ketoamides** II (R2 = NHCH2C6H4OMe-4, furfurylamino, morpholino, Val-OMe, L-phenylalaninol, Wang resin-bound phenylalanine). Subsequent acid hydrolysis of the ketal moiety generated .alpha.-keto amides III in excellent overall yields. The naturally occurring serine **protease** inhibitor poststatin, H-Val-Val-NHCH2COCO-D-Leu-Val-OH, was synthesized using this ring-opening reaction as the key step.

IT 160866-54-6P 222406-19-1P 222406-31-7P  
222406-34-0P 222406-35-1P 222406-36-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(solid and soln. phase synthesis of keto amides via ring opening of protected diethoxyazetidinones with amines)

REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 4 OF 7 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:476813 HCAPLUS

DOCUMENT NUMBER: 125:143327

TITLE: Preparation of .alpha.-**ketoamide** derivatives as cathepsin L inhibitors.

INVENTOR(S): Sohda, Takashi; Fujisawa, Yukio; Yasuma, Tsuneo; Mizoguchi, Junji

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 86 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616079	A2	19960530	WO 1995-JP2389	19951124 <--
WO 9616079	A3	19960912		
W:	AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN			
RW:	KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
JP 08208462	A2	19960813	JP 1995-304852	19951122 <--
AU 9539358	A1	19960617	AU 1995-39358	19951124 <--
EP 793673	A1	19970910	EP 1995-937173	19951124 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE			
PRIORITY APPLN. INFO.:			JP 1994-290132	19941124
			WO 1995-JP2389	19951124

OTHER SOURCE(S): MARPAT 125:143327

AB R4QNHCHR1COCONR5R6 [Q = bond, 1 or 2 (substituted) amino acid residues R1,

R5, R6 = H, (substituted) hydrocarbonyl, heterocyclyl; R4 = acyl, (esterified) carboxyl; R5R6 = atoms to form a ring], were prepd. Thus, N-benzyloxycarbonylisoleucyl-(2R,3S)-3-amino-2-hydroxy-4-phenylbutyric acid benzylamide was stirred with 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide hydrochloride and pyridinium trifluoroacetate in Me2SO/PhMe to give 83% N-benzyloxycarbonylisoleucyl-(2R,3S)-3-amino-2-oxo-4-phenylbutyric acid. The latter inhibited cathepsin L with IC50 = 1.1 .times. 10-8 M.

IT 60616-82-2, Cathepsin L

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
(inhibitors; prepn. of .alpha.-**ketoamide** derivs. as cathepsin L inhibitors)

IT 179549-80-5P 179549-81-6P 179549-83-8P

179549-84-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of .alpha.-**ketoamide** derivs. as cathepsin L inhibitors)

L11 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:731521 HCAPLUS

DOCUMENT NUMBER: 123:144653

TITLE: Preparation of peptide .alpha.-**ketoamides** as calpain inhibitors.

INVENTOR(S): Harbeson, Scott L.; Straub, Julie Ann

PATENT ASSIGNEE(S): Alkermes, Inc., USA

SOURCE: PCT Int. Appl., 80 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9500535	A1	19950105	WO 1994-US6497	19940609 <--
W:	AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, UZ, VN			
RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
US 5541290	A	19960730	US 1993-82274	19930624 <--
AU 9472452	A1	19950117	AU 1994-72452	19940609 <--
PRIORITY APPLN. INFO.:			US 1993-82274	19930624
			WO 1994-US6497	19940609

OTHER SOURCE(S): MARPAT 123:144653

AB M(A1)xA2NHCHR1COCONHR2SO2R3 (sic), M(A1)xA2NHCHR1COCONHR5R6, etc.; [M = H, H2NCO, H2NCS, H2NSO2, R7CS, R7NHCS, R7CO, R7SO2, R7O2C, etc.; R7 = 1-adamantyl, (substituted) alkyl, alkyl, Ph, naphthyl, phenylalkyl, phenoxyalkyl, etc.; A1 = D-, L-, or nonchiral amino acid, e.g., Ala, Val, Leu, Ile, Met, Tyr, Asn, Gln, .beta.-Ala, Sar, Orn, O-ethylserine, pipecolinic acid, cyclohexylalanine, pyridylalanine, p-nitrophenylalanine, .alpha.-aminoheptanoic acid, citrulline, 2-azetidinecarboxylic acid, trifluoroleucine, etc.; x = 0-3; A2 = D- or L-amino acid capable of imparting calpain specificity; R1 = alkyl, cycloalkyl, fluoroalkyl; R2 = alkyl, cycloalkyl, phenylalkyl, (substituted) phenylalkyl, phenylcycloalkyl; R3 = R2, OH, OR2, NH2, NHR2; NR2R2; R5, R6 = H, alkyl, cycloalkyl, (substituted) phenylalkyl, phenylcycloalkyl, morpholinoalkyl, piperidinoalkyl, etc.], were prepd. Thus, Z-Leu-Abu-CONHET (Abu = L-.alpha.-aminobutyric acid) (soln. phase prepn. given) inhibited calpain I with Ki = 77 nM.

IT 160801-90-1P 160801-92-3P 166195-97-7P  
166195-98-8P 166195-99-9P 166196-00-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(prepn. of peptide .alpha.-**ketoamides** as calpain inhibitors)

IT 78990-62-2, Calpain

RL: BPR (Biological process); BSU (Biological study, unclassified); MSC (Miscellaneous); BIOL (Biological study); PROC (Process)  
(prepn. of peptide .alpha.-**ketoamides** as calpain inhibitors)

L11 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:266967 HCAPLUS

DOCUMENT NUMBER: 122:56583

TITLE: Preparation of arginine keto-amide derivative antithrombotics.

INVENTOR(S): Webb, Thomas Roy; Miller, Todd Anthony; Vlasuk, George Phillip; Abelman, Matthew Mark

PATENT ASSIGNEE(S): Corvas International, Inc., USA

SOURCE: PCT Int. Appl., 188 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9408941	A1	19940428	WO 1993-US10015	19931018 <--
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5371072	A	19941206	US 1992-962301	19921016 <--
AU 9454081	A1	19940509	AU 1994-54081	19931018 <--
AU 678189	B2	19970522		
EP 664786	A1	19950802	EP 1993-924369	19931018 <--
EP 664786	B1	19990324		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08502493	T2	19960319	JP 1993-510359	19931018 <--
AT 178044	E	19990415	AT 1993-924369	19931018 <--
PRIORITY APPLN. INFO.:			US 1992-962301	19921016
			WO 1993-US10015	19931018
OTHER SOURCE(S):			MARPAT 122:56583	
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. [I; A1 = R1CO, R1O2C, R1NHCO, R1SO2, R1OSO2, R1NHSO2; R1 = alkyl, alkenyl, (substituted) aryl, aralkyl, aralkenyl, perfluoroalkyl, perfluoroaryl, trimethylsilylalkyl, etc.; A2 = H, alkyl, alkenyl, aryl, aralkyl, aralkenyl, tetrazolylalkyl, (CH2)mCO2H, (CH2)mSO3H, etc.; A3 = Ala, Gly, Ile, Leu, Orn, Pro, L-azetidinecarboxylate, L-methionine sulfone, L-pipecolic acid residues, etc.; A4 = Q1, Q2, NHR5, etc.; p, q = 1-5; p + q = 4-8; r = 0-3; R4 = (substituted) aryl; R5 = aryl; R6 = H, alkyl; R7 = H, (substituted) alkyl, aryl, aralkyl], were prepd. Thus, title compd. II, prepd. by soln. phase methods, at 0.5 mg/kg i.v. bolus + 100 mg/kg/min i.v. in an extracorporeal shunt model in rats reduced clot size from 41.30 mg (controls) to 10.20 mg.

IT 159945-32-1P 159945-35-4P 159945-38-7P  
159945-41-2P 159945-42-3P 159945-43-4P  
159945-50-3P 159945-53-6P 159945-54-7P



**159945-55-8P 159946-39-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(prepn. of, as antithrombotic)

IT **159945-82-1P**

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as intermediate for **ketoamide** antithrombotic)

L11 ANSWER 7 OF 7 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1992:485791 HCAPLUS

DOCUMENT NUMBER: 117:85791

TITLE: Novel tight-binding inhibitors of leukotriene A4 hydrolase

AUTHOR(S): Yuan, Wei; Wong, Chi Huey; Haeggstroem, Jesper Z.; Wetterholm, Anders; Samuelsson, Bengt

CORPORATE SOURCE: Dep. Chem., Scripps Res. Inst., La Jolla, CA, 92037, USA

SOURCE: Journal of the American Chemical Society (1992), 114(16), 6552-3

CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Several .alpha.-keto-.beta.-amino esters were developed as tight-binding, transition-state analog inhibitors of leukotriene A4 hydrolase, a Zn<sup>2+</sup>-enzyme which possesses both epoxide hydrolase and amidase activities. The inhibitor design combines advantages based on the tight binding of leukotriene substrate and a better understanding of the transition-state nature of Zn<sup>2+</sup>-**protease** reactions.

IT **142699-25-0**

RL: BIOL (Biological study)  
(leukotriene A4 hydrolase inhibition by, structure in relation to)

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=>

=> select hit rn l11 1-7

E1 THROUGH E38 ASSIGNED

=> fil reg

FILE 'REGISTRY' ENTERED AT 09:45:14 ON 18 NOV 2002

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 15 NOV 2002 HIGHEST RN 473758-49-5

DICTIONARY FILE UPDATES: 15 NOV 2002 HIGHEST RN 473758-49-5

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

=>  
=>

=> d his l12

(FILE 'HCAPLUS' ENTERED AT 09:43:54 ON 18 NOV 2002)

FILE 'HCAPLUS' ENTERED AT 09:44:54 ON 18 NOV 2002  
SELECT HIT RN L11 1-7

L12 FILE 'REGISTRY' ENTERED AT 09:45:14 ON 18 NOV 2002  
38 S E1-E38

=>  
=>

=> d ide can l12 1-38

L12 ANSWER 1 OF 38 REGISTRY COPYRIGHT 2002 ACS

RN **254437-29-1** REGISTRY

CN Glycinamide, N-(3-carboxy-1-oxopropyl)-L-.alpha.-aspartyl-L-.alpha.-  
glutamyl-2-methyl-L-phenylalanyl-3-methyl-L-valyl-L-leucyl-3-amino-5,5,5-  
trifluoro-2-oxopentanoyl-N-methyl- (9CI) (CA INDEX NAME)

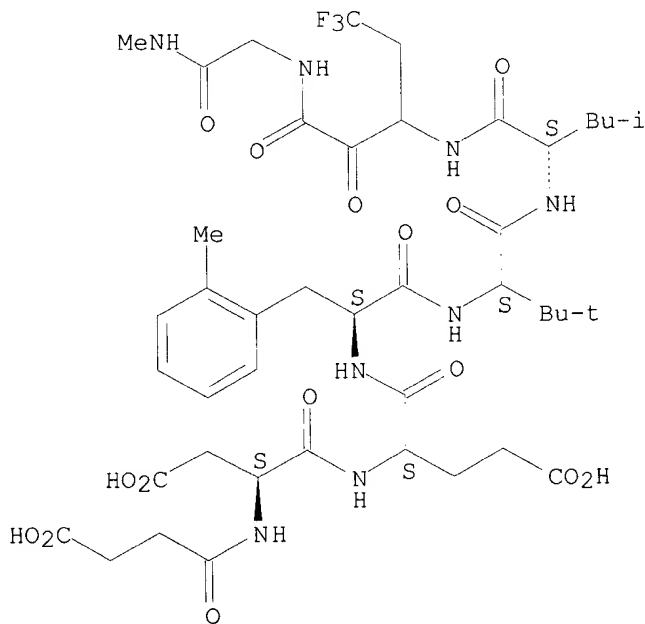
FS STEREOSEARCH

MF C43 H61 F3 N8 O15

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1962 TO DATE)

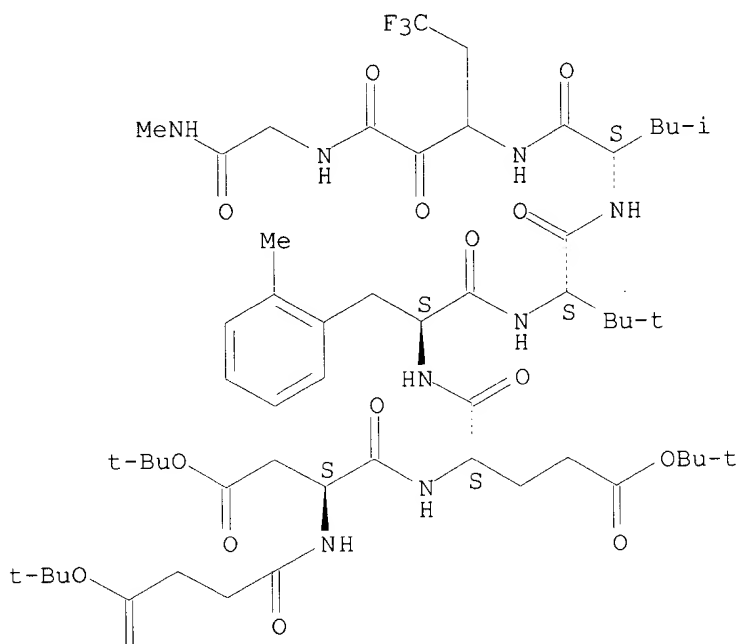
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 132:93653

L12 ANSWER 2 OF 38 REGISTRY COPYRIGHT 2002 ACS  
 RN **254437-28-0** REGISTRY  
 CN Glycinamide, N-[4-(1,1-dimethylethoxy)-1,4-dioxobutyl]-L-.alpha.-aspartyl-L-.alpha.-glutamyl-2-methyl-L-phenylalanyl-3-methyl-L-valyl-L-leucyl-3-amino-5,5,5-trifluoro-2-oxopentanoyl-N-methyl-, bis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF C55 H85 F3 N8 O15  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

PAGE 1-A



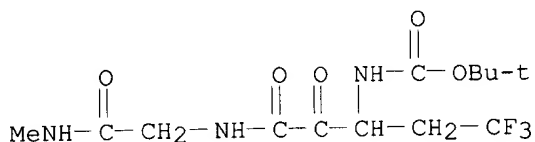
PAGE 2-A



1 REFERENCES IN FILE CA (1962 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 132:93653

L12 ANSWER 3 OF 38 REGISTRY COPYRIGHT 2002 ACS  
 RN **254437-27-9** REGISTRY  
 CN Carbamic acid, [3-[[2-(methylamino)-2-oxoethyl]amino]-2,3-dioxo-1-(2,2,2-trifluoroethyl)propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)  
 FS 3D CONCORD  
 MF C13 H20 F3 N3 O5  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

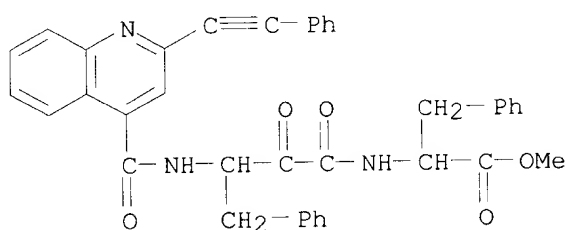


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 132:93653

L12 ANSWER 4 OF 38 REGISTRY COPYRIGHT 2002 ACS  
RN **222959-78-6** REGISTRY  
CN Phenylalanine, N-[1,2-dioxo-4-phenyl-3-[[[2-(phenylethynyl)-4-quinolinyl]carbonyl]amino]butyl]-, methyl ester (9CI) (CA INDEX NAME)  
FS 3D CONCORD  
MF C38 H31 N3 O5  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL



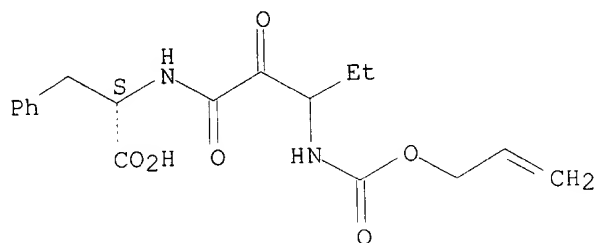
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 130:281997

L12 ANSWER 5 OF 38 REGISTRY COPYRIGHT 2002 ACS  
RN **222406-36-2** REGISTRY  
CN L-Phenylalanine, N-[1,2-dioxo-3-[[[2-propenyloxy)carbonyl]amino]pentyl]- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C18 H22 N2 O6  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.



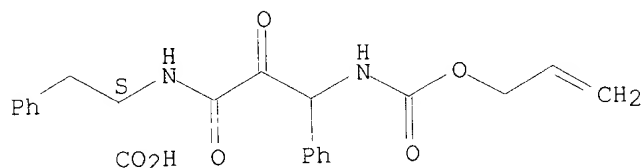
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1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 130:267755

L12 ANSWER 6 OF 38 REGISTRY COPYRIGHT 2002 ACS  
RN **222406-35-1** REGISTRY  
CN L-Phenylalanine, 2-oxo-3-phenyl-N-[(2-propenyloxy)carbonyl]-.beta.-alanyl-  
(9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C22 H22 N2 O6  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.



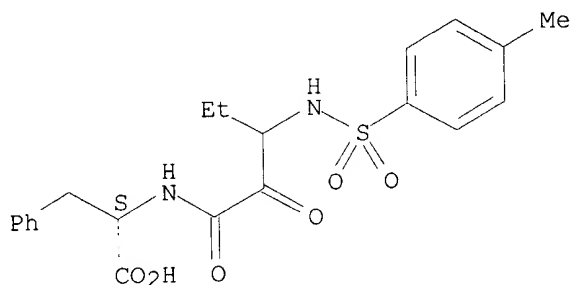
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 130:267755

L12 ANSWER 7 OF 38 REGISTRY COPYRIGHT 2002 ACS  
RN **222406-34-0** REGISTRY  
CN L-Phenylalanine, N-[3-[[[(4-methylphenyl)sulfonyl]amino]-1,2-dioxopentyl]-  
(9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C21 H24 N2 O6 S  
SR CA  
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



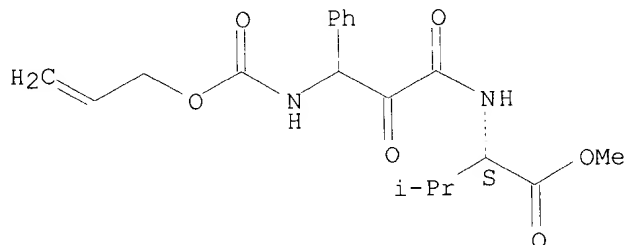
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 130:267755

L12 ANSWER 8 OF 38 REGISTRY COPYRIGHT 2002 ACS  
RN **222406-31-7** REGISTRY  
CN L-Valine, 2-oxo-3-phenyl-N-[(2-propenyloxy)carbonyl]-.beta.-alanyl-,  
methyl ester (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C19 H24 N2 O6  
SR CA  
LC STN Files: CA, CAPLUS, CASREACT

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

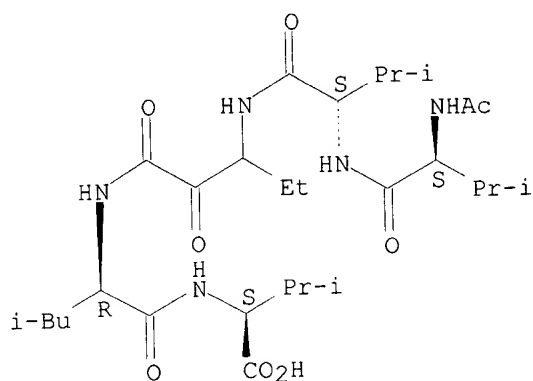
1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 130:267755

L12 ANSWER 9 OF 38 REGISTRY COPYRIGHT 2002 ACS  
RN **222406-19-1** REGISTRY  
CN L-Valine, N-acetyl-L-valyl-L-valyl-3-amino-2-oxopentanoyl-D-leucyl- (9CI)  
(CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C28 H49 N5 O8  
SR CA  
LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.

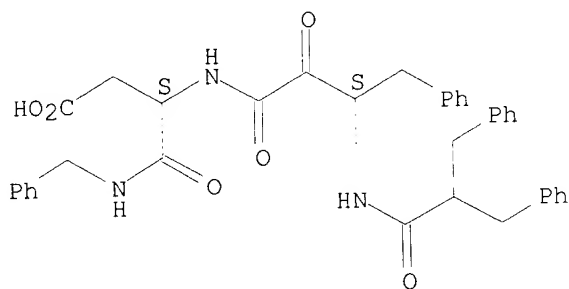


1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 130:267755

L12 ANSWER 10 OF 38 REGISTRY COPYRIGHT 2002 ACS  
RN **179549-84-9** REGISTRY  
CN Butanoic acid, 3-[[[1,2-dioxo-3-[[[1-oxo-3-phenyl-2-(phenylmethyl)propyl]amino]-4-phenylbutyl]amino]-4-oxo-4-[(phenylmethyl)amino]-, [S-(R\*,R\*)]]- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C37 H37 N3 O6  
SR CA  
LC STN Files: CA, CAPLUS

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

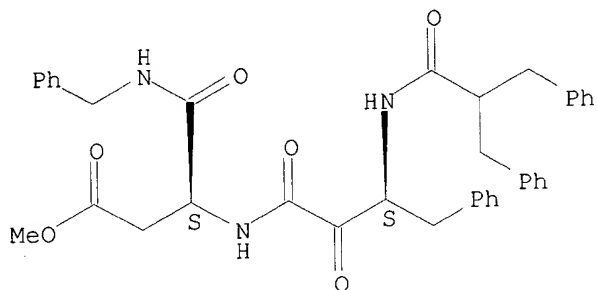
1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 125:143327

L12 ANSWER 11 OF 38 REGISTRY COPYRIGHT 2002 ACS  
RN **179549-83-8** REGISTRY  
CN Butanoic acid, 3-[[[1,2-dioxo-3-[[[1-oxo-3-phenyl-2-(phenylmethyl)propyl]amino]-4-phenylbutyl]amino]-4-oxo-4-[(phenylmethyl)amino]-, methyl ester, [S-(R\*,R\*)]]- (9CI) (CA INDEX NAME)  
FS STEREOSEARCH  
MF C38 H39 N3 O6  
SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1962 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 125:143327

L12 ANSWER 12 OF 38 REGISTRY COPYRIGHT 2002 ACS

RN 179549-81-6 REGISTRY

CN Benzenebutanamide, N-[2-methyl-1-[[[(phenylmethyl)amino]carbonyl]butyl]-  
 .alpha.-oxo-.beta.-[[[1-oxo-3-phenyl-2-(phenylmethyl)propyl]amino]-,  
 [1S-[1R\*(R\*),2R\*]]]- (9CI) (CA INDEX NAME)

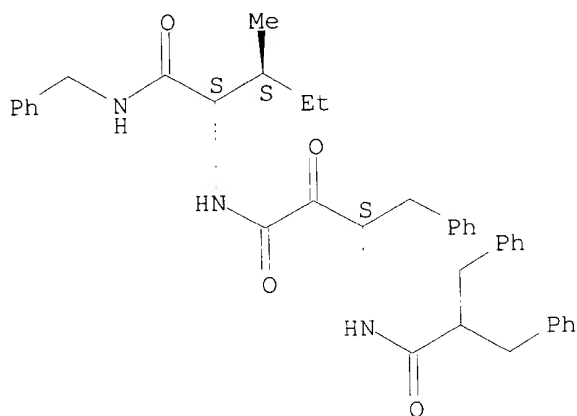
FS STEREOSEARCH

MF C39 H43 N3 O4

SR CA

LC STN Files: CA, CAPLUS

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1962 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

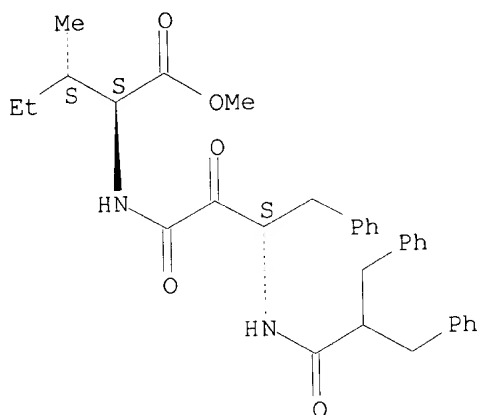
REFERENCE 1: 125:143327

L12 ANSWER 13 OF 38 REGISTRY COPYRIGHT 2002 ACS



RN 179549-80-5 REGISTRY  
 CN L-Isoleucine, N-[1,2-dioxo-3-[[1-oxo-3-phenyl-2-(phenylmethyl)propyl]amino]-4-phenylbutyl]-, methyl ester, [S-(R\*,R\*)]-(9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C33 H38 N2 O5  
 SR CA  
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1962 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 125:143327

L12 ANSWER 14 OF 38 REGISTRY COPYRIGHT 2002 ACS

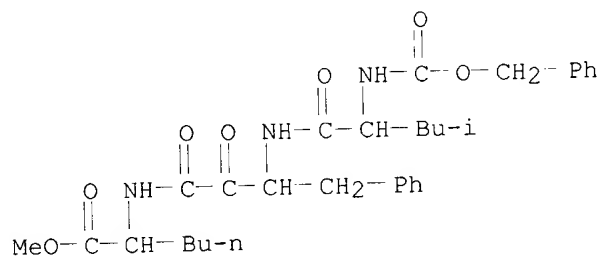
RN 166196-00-5 REGISTRY

CN L-Norleucine, N-[(phenylmethoxy)carbonyl]-L-leucyl-2-oxo-4-phenyl-(S)-3-aminobutanoyl-, methyl ester (9CI) (CA INDEX NAME)

MF C31 H41 N3 O7

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

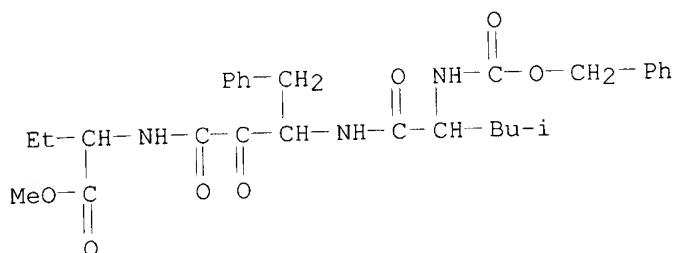


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1962 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 123:144653

L12 ANSWER 15 OF 38 REGISTRY COPYRIGHT 2002 ACS  
 RN **166195-99-9** REGISTRY  
 CN Butanoic acid, N-[(phenylmethoxy)carbonyl]-L-leucyl-2-oxo-4-phenyl(S)-3-aminobutanoyl-L-2-amino-, methyl ester (9CI) (CA INDEX NAME)  
 MF C29 H37 N3 O7  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

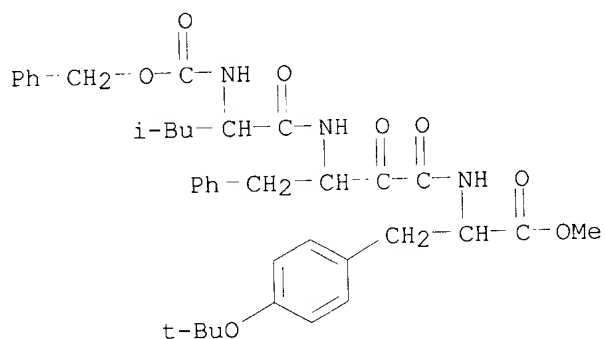


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1962 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 123:144653

L12 ANSWER 16 OF 38 REGISTRY COPYRIGHT 2002 ACS  
 RN **166195-98-8** REGISTRY  
 CN L-Tyrosine, N-[(phenylmethoxy)carbonyl]-L-leucyl-2-oxo-4-phenyl-(S)-3-aminobutanoyl-O-(1,1-dimethylethyl)-, methyl ester (9CI) (CA INDEX NAME)  
 MF C38 H47 N3 O8  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

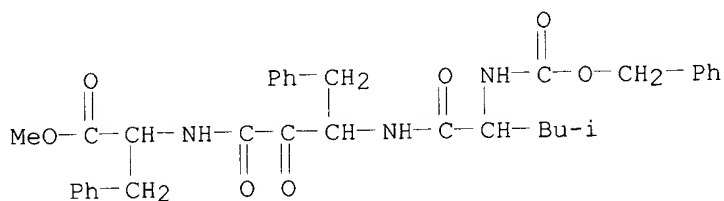


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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REFERENCE 1: 123:144653

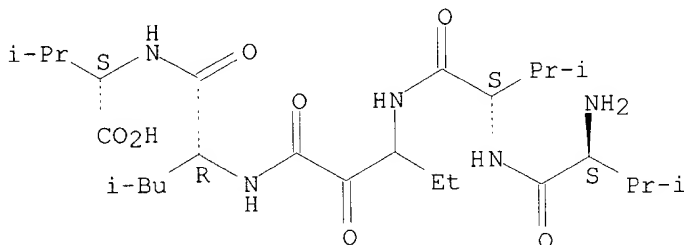
L12 ANSWER 17 OF 38 REGISTRY COPYRIGHT 2002 ACS  
 RN **166195-97-7** REGISTRY  
 CN L-Phenylalanine, N-[(phenylmethoxy)carbonyl]-L-leucyl-2-oxo-4-phenyl-(S)-3-



1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

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L12 ANSWER 18 OF 38  REGISTRY  COPYRIGHT 2002 ACS
RN  160866-54-6  REGISTRY
CN  L-Valine, L-valyl-L-valyl-2-oxo-3-aminopentanoyl-D-leucyl- (9CI)  (CA
    INDEX NAME)
FS  PROTEIN SEQUENCE; STEREOSEARCH
MF  C26 H47 N5 O7
SR  CA
LC  STN Files:    CA, CAPLUS, USPATFULL
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Absolute stereochemistry.

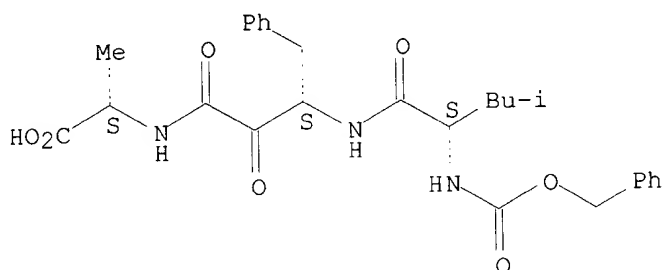


2 REFERENCES IN FILE CA (1962 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 130:267755

REFERENCE 2: 122:131140

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L12  ANSWER 19 OF 38  REGISTRY  COPYRIGHT 2002 ACS
RN   160801-92-3  REGISTRY
CN   L-Alanine, N-[3-[[4-methyl-1-oxo-2-[[ (phenylmethoxy) carbonyl] amino] pentyl]
      amino]-1,2-dioxo-4-phenylbutyl]-, [S-(R*,R*)]- (9CI)  (CA INDEX NAME)
FS   STEREOSEARCH
MF   C27 H33 N3 O7
SR   CA
LC   STN Files:    CA, CAPLUS, USPATFULL
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2 REFERENCES IN FILE CA (1962 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 123:144653

REFERENCE 2: 122:133766

L12 ANSWER 20 OF 38 REGISTRY COPYRIGHT 2002 ACS

LN 160801-90-1 REGISTRY

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RN      160801-90-1  REGISTRY
CN      L-Alanine, N-[3-[[4-methyl-1-oxo-2-[[[(phenylmethoxy)carbonyl]amino]pentyl]
        amino]-1,2-dioxo-4-phenylbutyl]-, methyl ester, [S-(R*,R*)]- (9CI) (CA
        INDEX NAME)

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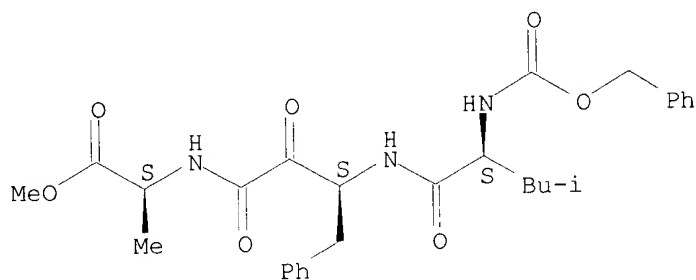
FS STEREOSEARCH

MF C28 H35 N3 O7

SR	CA
----	----

SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1962 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 123:144653

REFERENCE 2: 122:133766

L12 ANSWER 21 OF 38 REGISTRY COPYRIGHT 2002 ACS

RN 159946-39-1 REGISTRY

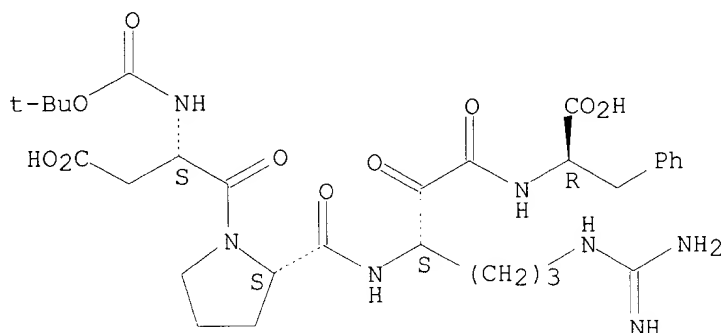
RN	159946-39-1	REGISTRY
CN	D-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-.alpha.-aspartyl-L-prolyl-(3S)-3-amino-6-[(aminoiminomethyl)amino]-2-oxohexanoyl- (9CI) (CA INDEX NAME)	

## OTHER CA INDEX NAMES:

CN D-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-.alpha.-aspartyl-L-prolyl-N6-(aminoiminomethyl)-2-oxo-(S)-3,6-diaminohexanoyl-  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF C30 H43 N7 O10  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1962 TO DATE)

2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 126:199831

REFERENCE 2: 122:56583

L12 ANSWER 22 OF 38 REGISTRY COPYRIGHT 2002 ACS

RN **159945-82-1** REGISTRY

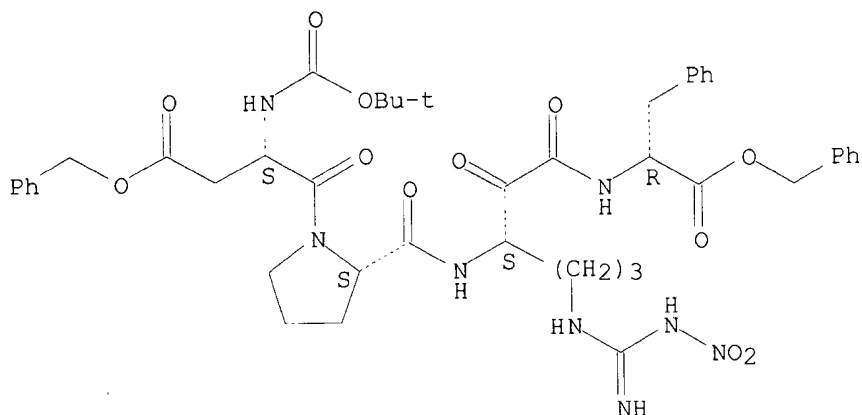
CN D-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-.alpha.-aspartyl-L-prolyl-(3S)-3-amino-6-[[imino(nitroamino)methyl]amino]-2-oxohexanoyl-, bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

## OTHER CA INDEX NAMES:

CN D-Phenylalanine, N-[(1,1-dimethylethoxy)carbonyl]-L-.alpha.-aspartyl-L-prolyl-N6-[imino(nitroamino)methyl]-2-oxo-(S)-3,6-diaminohexanoyl-, bis(phenylmethyl) ester  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF C44 H54 N8 O12  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1962 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 126:199831

REFERENCE 2: 122:56583

L12 ANSWER 23 OF 38 REGISTRY COPYRIGHT 2002 ACS

RN **159945-55-8** REGISTRY

CN Glycine, N-[(phenylmethyl)sulfonyl]glycyl-L-prolyl-(3S)-3-amino-6-  
[(aminoiminomethyl)amino]-2-oxohexanoyl- (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Glycine, N-[(phenylmethyl)sulfonyl]glycyl-L-prolyl-N6-(aminoiminomethyl)-2-  
oxo-(S)-3,6-diaminohexanoyl-

FS PROTEIN SEQUENCE; STEREOSEARCH

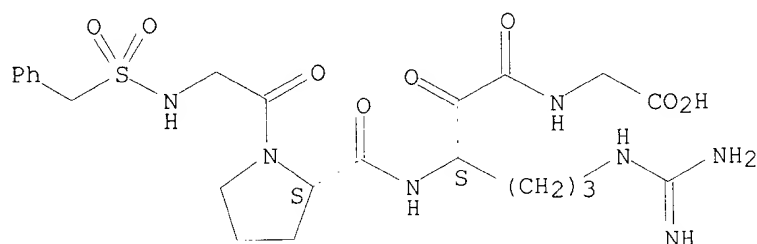
MF C23 H33 N7 O8 S

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1962 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 126:199831

REFERENCE 2: 122:56583

L12 ANSWER 24 OF 38 REGISTRY COPYRIGHT 2002 ACS

RN **159945-54-7** REGISTRY

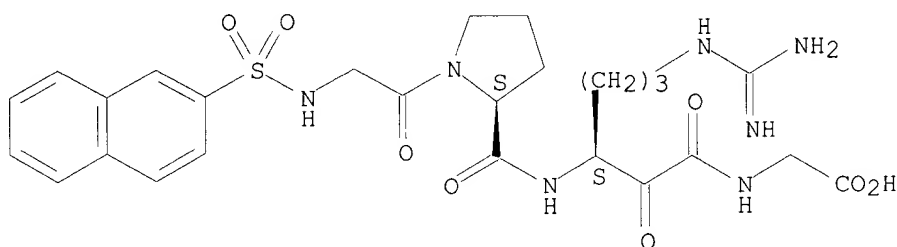
CN Glycine, N-(2-naphthalenylsulfonyl)glycyl-L-prolyl-(3S)-3-amino-6-  
[(aminoiminomethyl)amino]-2-oxohexanoyl- (9CI) (CA INDEX NAME)

## OTHER CA INDEX NAMES:

CN Glycine, N-(2-naphthalenylsulfonyl)glycyl-L-prolyl-N6-(aminoiminomethyl)-2-oxo-(S)-3,6-diaminohexanoyl-  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF C26 H33 N7 O8 S  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1962 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 126:199831

REFERENCE 2: 122:56583

L12 ANSWER 25 OF 38 REGISTRY COPYRIGHT 2002 ACS

RN **159945-53-6** REGISTRY

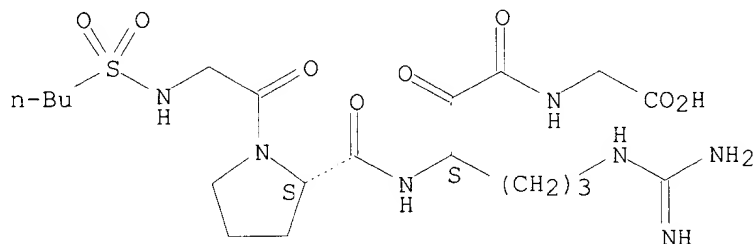
CN Glycine, N-(butylsulfonyl)glycyl-L-prolyl-(3S)-3-amino-6-[(aminoiminomethyl)amino]-2-oxohexanoyl- (9CI) (CA INDEX NAME)

## OTHER CA INDEX NAMES:

CN Glycine, N-(butylsulfonyl)glycyl-L-prolyl-N6-(aminoiminomethyl)-2-oxo-(S)-3,6-diaminohexanoyl-  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF C20 H35 N7 O8 S  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1962 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 126:199831

REFERENCE 2: 122:56583

L12 ANSWER 26 OF 38 REGISTRY COPYRIGHT 2002 ACS

RN **159945-50-3** REGISTRY

CN Glycine, (2S)-4-(methylsulfonyl)-2-[[ (phenylmethyl)sulfonyl]amino]butanoyl-L-isoleucyl-(3S)-3-amino-6-[(aminoiminomethyl)amino]-2-oxohexanoyl- (9CI)  
(CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Glycine, 4-(methylsulfonyl)-N-[(phenylmethyl)sulfonyl]-L-2-aminobutanoyl-L-isoleucyl-N6-(aminoiminomethyl)-2-oxo-(S)-3,6-diaminohexanoyl-

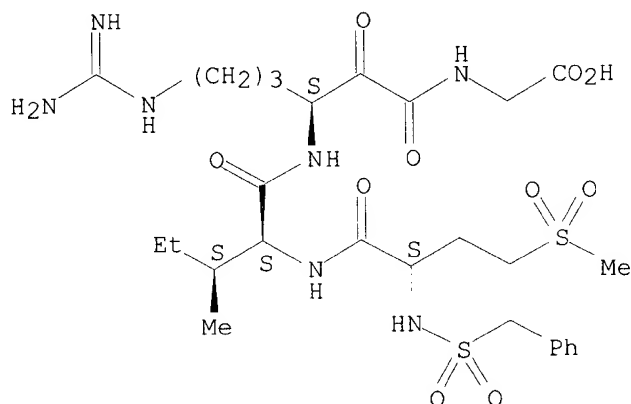
FS PROTEIN SEQUENCE; STEREOSEARCH

MF C27 H43 N7 O10 S2

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1962 TO DATE)

2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 126:199831

REFERENCE 2: 122:56583

L12 ANSWER 27 OF 38 REGISTRY COPYRIGHT 2002 ACS

RN **159945-43-4** REGISTRY

CN Glycine, (2S)-4-(methylsulfonyl)-2-[[ (phenylmethyl)sulfonyl]amino]butanoyl-L-prolyl-(3S)-3-amino-6-[(aminoiminomethyl)amino]-2-oxohexanoyl- (9CI)  
(CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Glycine, 4-(methylsulfonyl)-N-[(phenylmethyl)sulfonyl]-L-2-aminobutanoyl-L-prolyl-N6-(aminoiminomethyl)-2-oxo-(S)-3,6-diaminohexanoyl-

FS PROTEIN SEQUENCE; STEREOSEARCH

MF C26 H39 N7 O10 S2

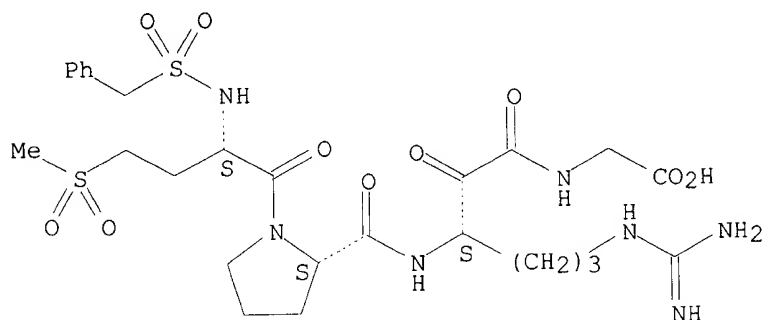
SR CA

LC STN Files: CA, CAPLUS, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.





2 REFERENCES IN FILE CA (1962 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 126:199831

REFERENCE 2: 122:56583

L12 ANSWER 28 OF 38 REGISTRY COPYRIGHT 2002 ACS

RN **159945-42-3** REGISTRY

CN Glycine, (2S)-4-(methylsulfonyl)-2-[(2-naphthalenylsulfonyl)amino]butanoyl-L-prolyl-(3S)-3-amino-6-[(aminoiminomethyl)amino]-2-oxohexanoyl- (9CI)  
(CA INDEX NAME)

OTHER CA INDEX NAMES:

CN Glycine, 4-(methylsulfonyl)-N-(2-naphthalenylsulfonyl)-L-2-aminobutanoyl-L-prolyl-N6-(aminoiminomethyl)-2-oxo-(S)-3,6-diaminohexanoyl-

FS PROTEIN SEQUENCE; STEREOSEARCH

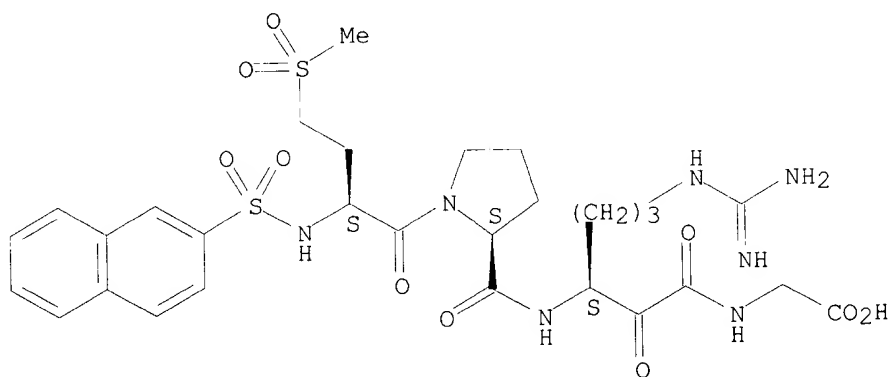
MF C29 H39 N7 O10 S2

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1962 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

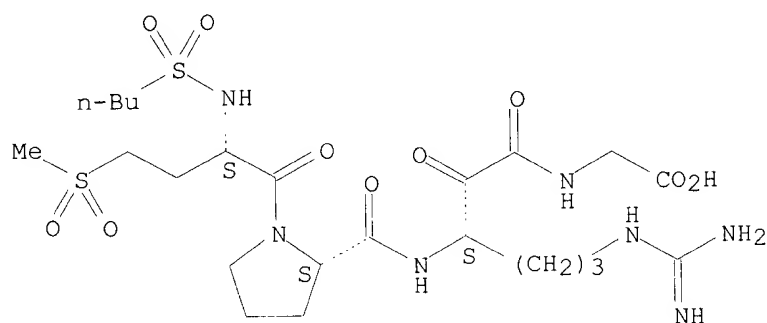
REFERENCE 1: 126:199831

REFERENCE 2: 122:56583

L12 ANSWER 29 OF 38 REGISTRY COPYRIGHT 2002 ACS  
 RN **159945-41-2** REGISTRY  
 CN Glycine, (2S)-2-[(butylsulfonyl)amino]-4-(methylsulfonyl)butanoyl-L-prolyl-  
 (3S)-3-amino-6-[(aminoiminomethyl)amino]-2-oxohexanoyl- (9CI) (CA INDEX  
 NAME)  
 OTHER CA INDEX NAMES:  
 CN Glycine, N-(butylsulfonyl)-4-(methylsulfonyl)-L-2-aminobutanoyl-L-prolyl-  
 N6-(aminoiminomethyl)-2-oxo-(S)-3,6-diaminohexanoyl-  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF C23 H41 N7 O10 S2  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1962 TO DATE)  
 2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

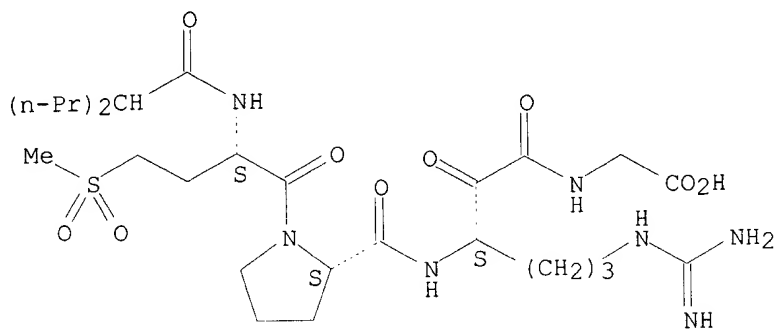
REFERENCE 1: 126:199831

REFERENCE 2: 122:56583

L12 ANSWER 30 OF 38 REGISTRY COPYRIGHT 2002 ACS  
 RN **159945-38-7** REGISTRY  
 CN Glycine, 4-(methylsulfonyl)-N-(1-oxo-2-propylpentyl)-L-2-aminobutanoyl-L-  
 prolyl-N6-(aminoiminomethyl)-2-oxo-(S)-3,6-diaminohexanoyl- (9CI) (CA  
 INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF C27 H47 N7 O9 S  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 122:56583

L12 ANSWER 31 OF 38 REGISTRY COPYRIGHT 2002 ACS

RN **159945-35-4** REGISTRY

CN Glycine, 3-(2-methyl-2H-tetrazol-5-yl)-N-(1-oxo-2-propylpentyl)-L-alanyl-L-prolyl-N6-(aminoiminomethyl)-2-oxo-(S)-3,6-diaminohexanoyl- (9CI) (CA INDEX NAME)

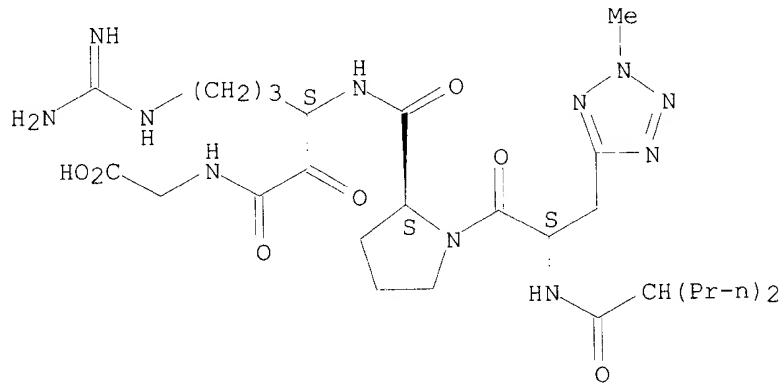
FS PROTEIN SEQUENCE; STEREOSEARCH

MF C27 H45 N11 O7

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 122:56583

L12 ANSWER 32 OF 38 REGISTRY COPYRIGHT 2002 ACS

RN **159945-32-1** REGISTRY

CN Glycine, N-(1-oxo-2-propylpentyl)-L.alpha.-aspartyl-L-prolyl-N6-(aminoiminomethyl)-2-oxo-(S)-3,6-diaminohexanoyl-, 4-methyl ester (9CI) (CA INDEX NAME)

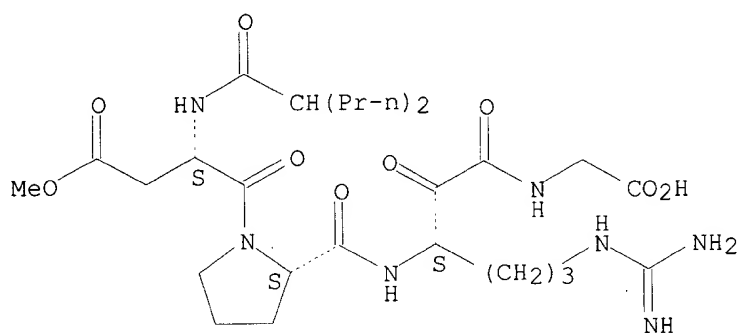
FS PROTEIN SEQUENCE; STEREOSEARCH

MF C27 H45 N7 O9

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.

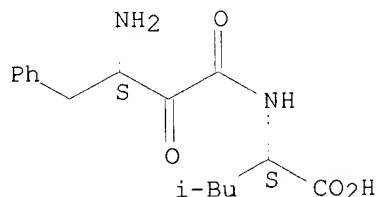


1 REFERENCES IN FILE CA (1962 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 122:56583

L12 ANSWER 33 OF 38 REGISTRY COPYRIGHT 2002 ACS  
 RN **142699-25-0** REGISTRY  
 CN L-Leucine, N-(3-amino-1,2-dioxo-4-phenylbutyl)-, (S)- (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C16 H22 N2 O4  
 CI COM  
 SR CA  
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1962 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 117:85791

L12 ANSWER 34 OF 38 REGISTRY COPYRIGHT 2002 ACS  
 RN **78990-62-2** REGISTRY  
 CN Calpain (9CI) (CA INDEX NAME)  
 OTHER NAMES:  
 CN Calcium-activated neutral protease  
 CN Calcium-activated neutral proteinase  
 CN Calcium-dependent neutral protease  
 CN Calpain p94  
 CN E.C. 3.4.22.17  
 CN Proteinase, calcium-activated neutral  
 MF Unspecified  
 CI MAN  
 LC STN Files: AGRICOLA, ANABSTR, BIOBUSINESS, BIOSIS, BIOTECHNO, CA,

CAPLUS, CEN, CHEMCATS, CIN, EMBASE, IPA, PROMT, TOXCENTER, USPAT2,  
USPATFULL

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

2754 REFERENCES IN FILE CA (1962 TO DATE)

14 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

2768 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 137:308575  
REFERENCE 2: 137:306900  
REFERENCE 3: 137:305778  
REFERENCE 4: 137:305774  
REFERENCE 5: 137:304817  
REFERENCE 6: 137:304520  
REFERENCE 7: 137:293340  
REFERENCE 8: 137:292185  
REFERENCE 9: 137:292016  
REFERENCE 10: 137:289602

L12 ANSWER 35 OF 38 REGISTRY COPYRIGHT 2002 ACS

RN 60616-82-2 REGISTRY

CN Cathepsin L (9CI) (CA INDEX NAME)

OTHER NAMES:

CN Aldrichina grahami cysteine proteinase

CN Cathepsin L1

CN Cathepsin L2

CN E.C. 3.4.22.15

CN Pacific whiting surimi wash water proteinase

MF Unspecified

CI MAN

LC STN Files: AGRICOLA, ANABSTR, BIOBUSINESS, BIOSIS, BIOTECHNO, CA,  
CAPLUS, CHEMCATS, CIN, EMBASE, PROMT, TOXCENTER, USPAT2, USPATFULL

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

1517 REFERENCES IN FILE CA (1962 TO DATE)

12 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

1519 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 137:309392  
REFERENCE 2: 137:308852  
REFERENCE 3: 137:308379  
REFERENCE 4: 137:292545  
REFERENCE 5: 137:291871  
REFERENCE 6: 137:260870  
REFERENCE 7: 137:259547  
REFERENCE 8: 137:247932

REFERENCE 9: 137:230356

REFERENCE 10: 137:230348

L12 ANSWER 36 OF 38 REGISTRY COPYRIGHT 2002 ACS

RN 37353-41-6 REGISTRY

CN Proteinase, cysteine (9CI) (CA INDEX NAME)

## OTHER NAMES:

CN Cysteine endopeptidase

CN Cysteine endoprotease

CN Cysteine peptidase

CN Cysteine protease

CN Cysteine proteinase

CN L-Cysteine proteinase

CN Mercapto proteinase

CN Oryzain

CN Papain-like cysteine protease

CN Sulfhydryl endopeptidase

CN Sulfhydryl esterase

CN Sulfhydryl protease

CN Sulfhydryl proteinase

CN Thiol endopeptidase

CN Thiol endoproteinase

CN Thiol protease

CN Thiol proteinase

CN Thioprotease

CN Trigger peptidase

DR 51484-59-4, 116155-86-3, 116412-41-0, 117990-23-5

MF Unspecified

CI MAN

LC STN Files: ADISNEWS, AGRICOLA, BIOBUSINESS, BIOSIS, BIOTECHNO, CA,  
CAPLUS, CEN, CIN, EMBASE, MEDLINE, PROMT, TOXCENTER, USPAT2, USPATFULL

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

3119 REFERENCES IN FILE CA (1962 TO DATE)

30 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

3123 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 137:311203

REFERENCE 2: 137:306641

REFERENCE 3: 137:306631

REFERENCE 4: 137:299872

REFERENCE 5: 137:295246

REFERENCE 6: 137:294304

REFERENCE 7: 137:291866

REFERENCE 8: 137:289678

REFERENCE 9: 137:289669

REFERENCE 10: 137:288591

L12 ANSWER 37 OF 38 REGISTRY COPYRIGHT 2002 ACS

RN 37259-58-8 REGISTRY

CN Proteinase, serine (9CI) (CA INDEX NAME)

## OTHER NAMES:

CN Bacillus alk. serine proteinase

CN Bactosol SI  
 CN Caldolase  
 CN Cerastobin  
 CN Gene easter serine protease  
 CN Herpes simplex virus type 1 proteinase  
 CN Proteinase R  
 CN Proteinase T  
 CN Proteins, gene easter  
 CN Proteins, gene snake  
 CN Prozyme 6  
 CN Serine endopeptidase  
 CN Serine esterase  
 CN Serine peptidase  
 CN Serine protease  
 CN Serine proteinase  
 CN Serine-type protease  
 CN Seryl protease  
 CN Tryase  
 DR 139074-63-8, 116036-72-7  
 MF Unspecified  
 CI MAN  
 LC STN Files: ADISNEWS, AGRICOLA, BIOBUSINESS, BIOSIS, BIOTECHNO, CA,  
 CAPLUS, CASREACT, CEN, CHEMCATS, CHEMLIST, CIN, EMBASE, IFICDB, IFIPAT,  
 IFIUDB, PROMT, RTECS\*, TOXCENTER, USPAT2, USPATFULL  
 (\*File contains numerically searchable property data)  
 Other Sources: EINECS\*\*  
 (\*\*Enter CHEMLIST File for up-to-date regulatory information)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*  
 5644 REFERENCES IN FILE CA (1962 TO DATE)  
 82 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 5656 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 137:309165  
 REFERENCE 2: 137:307554  
 REFERENCE 3: 137:306604  
 REFERENCE 4: 137:306479  
 REFERENCE 5: 137:304523  
 REFERENCE 6: 137:299886  
 REFERENCE 7: 137:294304  
 REFERENCE 8: 137:291688  
 REFERENCE 9: 137:290814  
 REFERENCE 10: 137:290366

L12 ANSWER 38 OF 38 REGISTRY COPYRIGHT 2002 ACS  
 RN 9001-92-7 REGISTRY  
 CN Proteinase (9CI) (CA INDEX NAME)  
 OTHER NAMES:  
 CN 537 Acidic protease  
 CN Actinase  
 CN Alkalase 2.4L FG  
 CN Alkalase 2.5L Type DX  
 CN Alkaline protease-L FG  
 CN ALP 901

CN AO protease  
 CN APL 901  
 CN Aquatinase E  
 CN Arginine esterase  
 CN AS 1.398  
 CN AS 10  
 CN Azocaseinase  
 CN BAPAase  
 CN Biopraser SP-4FG  
 CN Bioprotease A  
 CN Bioprotease N 100P  
 CN Carbonyl hydrolase  
 CN Casein endopeptidase  
 CN Caseinase  
 CN Cleanase AP 100-PWC  
 CN Corolase 7089  
 CN Corolase L 10  
 CN DA 10  
 CN DA 10 (enzyme)  
 CN Denatyme AP  
 CN Durazyme 16.0L  
 CN Endopeptidase  
 CN Endopeptidase O  
 CN Endoprotease  
 CN Endoproteinase  
 CN Enzylase K 40  
 CN Enzylon SAL  
 CN Enzylon SAL 300  
 CN Enzymes, proteolytic  
 CN Esteroproteinase  
 CN Everlase 16L  
 CN Everlase 16L Type EX  
 CN Fibrinase  
 CN Flavourzyme 500 MG  
 CN Fungal Protease P 31000  
 CN Genencor 4000 S  
 CN GHPO 525 protease  
 CN GPR protease  
 CN Growth-related proteinase  
 CN HAP Alkaline protease  
 CN Isofloridoside phosphate synthase-activating proteinase  
 CN Kanase 12T  
 CN Kanase 24T  
 CN KAP 11.1G

ADDITIONAL NAMES NOT AVAILABLE IN THIS FORMAT - Use FCN, FIDE, or ALL for  
 DISPLAY

DR 9001-93-8, 9012-23-1, 9040-76-0, 125498-72-8, 125752-86-5, 123779-18-0,  
 124041-97-0, 120038-39-3, 120038-40-6, 105913-13-1, 118901-82-9,  
 144906-30-9, 143404-30-2, 143404-41-5, 116267-38-0, 117278-03-2,  
 117698-27-8, 118390-80-0

MF Unspecified

CI COM, MAN

LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, BIOBUSINESS, BIOSIS, BIOTECHNO,  
 CA, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMINFORMRX, CHEMLIST, CIN,  
 CSCHEN, CSNB, DDFU, DIOGENES, DRUGU, EMBASE, IFICDB, IFIPAT, IFIADB,  
 IPA, MSDS-OHS, NAPRALERT, NIOSHTIC, PIRA, PLASPEC\*, PROMT, RTECS\*,  
 TOXCENTER, TULSA, USPAT2, USPATFULL, VTB

(\*File contains numerically searchable property data)

Other Sources: EINECS\*\*, TSCA\*\*

(\*\*Enter CHEMLIST File for up-to-date regulatory information)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

34229 REFERENCES IN FILE CA (1962 TO DATE)



390 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
34276 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE	1:	137:316147
REFERENCE	2:	137:315949
REFERENCE	3:	137:313019
REFERENCE	4:	137:312741
REFERENCE	5:	137:311153
REFERENCE	6:	137:310022
REFERENCE	7:	137:310021
REFERENCE	8:	137:309947
REFERENCE	9:	137:309939
REFERENCE	10:	137:309917

=> fil hcaplus  
 FILE 'HCAPLUS' ENTERED AT 09:46:38 ON 18 NOV 2002  
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FILE COVERS 1907 - 18 Nov 2002 VOL 137 ISS 21  
 FILE LAST UPDATED: 17 Nov 2002 (20021117/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

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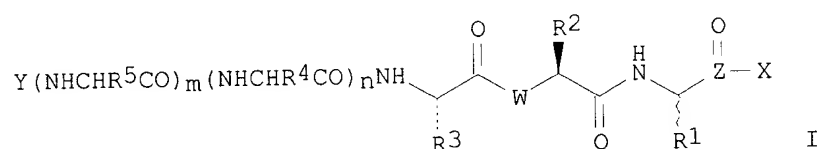
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 L1 STR  
 L3 1987 SEA FILE=REGISTRY SSS FUL L1  
 L4 STR  
 L5 1955 SEA FILE=REGISTRY SUB=L3 SSS FUL L4  
 L6 68 SEA FILE=HCAPLUS ABB=ON PLU=ON L5  
 L7 44 SEA FILE=HCAPLUS ABB=ON PLU=ON L6 AND PD<DECEMBER 3 1999  
 L8 17584 SEA FILE=REGISTRY ABB=ON PLU=ON PROTEASE? OR PROTEINASE?  
 L9 173122 SEA FILE=HCAPLUS ABB=ON PLU=ON L8 OR PROTEASE? OR PROTEINASE?  
 L10 24 SEA FILE=HCAPLUS ABB=ON PLU=ON L9 AND L7  
 L11 7 SEA FILE=HCAPLUS ABB=ON PLU=ON L10 AND ?KETOAMI?  
 L13 17 SEA FILE=HCAPLUS ABB=ON PLU=ON L10 NOT L11

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L13 ANSWER 1 OF 17 HCAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1998:485077 HCAPLUS  
 DOCUMENT NUMBER: 129:122872  
 TITLE: Peptidomimetic inhibitors of the human cytomegalovirus  
**protease**  
 INVENTOR(S): Bailey, Murray; Fazal, Gulrez; Lavallee, Pierre;  
 Ogilvie, William; Poupart, Marc-Andre  
 PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.  
 SOURCE: PCT Int. Appl., 165 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9829435	A1	19980709	WO 1997-CA1004	19971223 <--
W: CA, JP, MX, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 948523	A1	19991013	EP 1997-951048	19971223 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001508418	T2	20010626	JP 1998-529511	19971223
US 6291640	B1	20010918	US 1998-171554	19981019
PRIORITY APPLN. INFO.:			US 1996-34041P	P 19961227
			US 1997-52860P	P 19970717
			US 1997-59806P	P 19970923
			WO 1997-CA1004	W 19971223

OTHER SOURCE(S): MARPAT 129:122872  
GI



AB Compds. I [Z = C or P; X = CF<sub>3</sub>, C<sub>2</sub>F<sub>5</sub>, benzothiazole, CF<sub>2</sub>CONHR<sub>6</sub>, CONHR<sub>6</sub> [R<sub>6</sub> = alkyl, (un)substituted Ph or cyclohexyl], etc.; R<sub>1</sub> = H, Me, Et; R<sub>2</sub> = CH<sub>2</sub>SO<sub>2</sub>NH<sub>2</sub>, alkyl, arylalkyl, etc.; R<sub>3</sub> = alkyl, carboxyalkyl, adamantyl; R<sub>4</sub> = alkyl, arylalkyl; R<sub>5</sub> = H, CH<sub>2</sub>OH; W = NH, CH<sub>2</sub>, CHMe; Y = H, t-BuCH<sub>2</sub>CH<sub>2</sub>, acyl; m, n = 0, 1] were prep'd. as inhibitors of the human cytomegalovirus (HCMV) **protease**. Thus, N1-(3,3,3-trifluoro-1-methyl-2-oxopropyl)-(2S)-2-[(1S)-2-methyl-1-[(1S)-2-methyl-1-[(methylcarboxamido)methyl]carboxamidopropyl]carboxamido]propylcarboxamido]butanediamide, prep'd. by the solid-phase method, showed IC<sub>50</sub> = 1.8+-.0.3 .mu.M for inhibition of HCMV No **protease**.

IT **210290-94-1P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(peptidomimetic inhibitors of the human cytomegalovirus **protease**)

IT **139691-88-6**

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(peptidomimetic inhibitors of the human cytomegalovirus **protease**)

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1998:26310 HCAPLUS

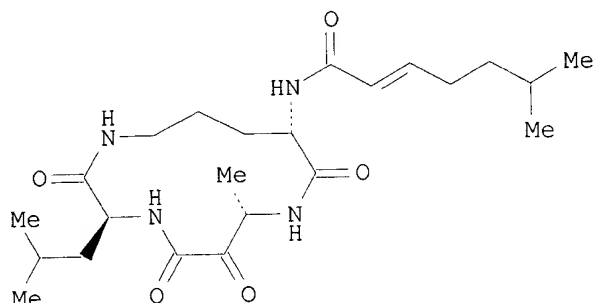
DOCUMENT NUMBER: 128:35014

TITLE: Synthesis of the Cyclic Peptidic **Protease**  
Inhibitor Eurystatin A Using Acyl Cyano Phosphorane  
Methodology

AUTHOR(S): Wasserman, Harry H.; Petersen, Anders K.  
CORPORATE SOURCE: Department of Chemistry, Yale University, New Haven,  
CT, 06520-8107, USA

SOURCE: Journal of Organic Chemistry (1997), 62(26),  
8972-8973  
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 OTHER SOURCE(S): CASREACT 128:35014  
 GI



I

AB A synthesis of the cyclic **protease** inhibitor eurystatin A (I) was accomplished by two different routes, involving .alpha.,.beta.-diketo nitriles obtained by oxidn. of the corresponding acyl cyano phosphoranes. Coupling with leucine tert-Bu ester furnished the key .alpha.-keto amide functionality found in the natural product.

IT 199467-17-9P 199467-18-0P 199467-19-1P  
 199467-27-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of cyclopeptide **protease** inhibitor eurystatin A using acyl cyano phosphorane methodol.)

IT 199467-13-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of cyclopeptide **protease** inhibitor eurystatin A using acyl cyano phosphorane methodol.)

L13 ANSWER 3 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:592182 HCAPLUS

DOCUMENT NUMBER: 125:301555

TITLE: Poststatin, a new inhibitor of prolyl endopeptidase.

VII. N-cycloalkylamide analogs  
 Tsuda, Makoto; Muraoka, Yasuhiko; Nagai, Machiko;  
 Aoyagi, Takaaki; Takeuchi, Tomio

CORPORATE SOURCE: Inst. Microbial Chem., Tokyo, 141, Japan

SOURCE: Journal of Antibiotics (1996), 49(9),  
 909-920

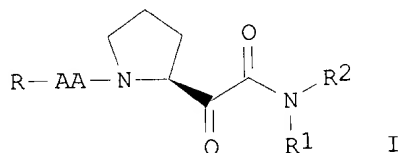
CODEN: JANTAJ; ISSN: 0021-8820

PUBLISHER: Japan Antibiotics Research Association

DOCUMENT TYPE: Journal

LANGUAGE: English

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- AB Poststatin analogs I [R = Me3CO2C (Boc), Ac, 4-ClC6H4CH2NH, PhCH2O2C (Z), 2-thienylcarbonyl, 3-PhOC6H4CO, 2-naphthylcarbonyl, 2-quinolinecarbonyl, 2-pyridinecarbonyl, 3-(2-furyl)propenoyl, 3-cyclohexylpropanoyl; AA = COCH2CH2CO, Phe, Val, 3-cyclohexylalanine (Cha); R1 = H, R2 = cyclopropyl, cyclopentyl, cyclohexyl, cycloheptyl, cyclooctyl; R1R2 = (CH2)4] contg. oxo(pyrrolidinyl)acetyl moieties in the P1 positions were prepd. and examd. for their inhibitory activity against prolyl endopeptidase and cathepsin B in vitro. Introduction of nonpeptidyl cycloalkylamine components in P'1 was effective and P3-acyl groups must be widely modifiable for prolyl endopeptidase inhibition. Compds. I (AA = Phe) showed IC50 value of nano to subnano g/mL as prolyl endopeptidase inhibitors and show no significant inhibitory activities against cathepsin B, a cysteine **protease**.
- IT **135219-43-1DP**, Poststatin, cycloalkylamide analogs  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (prepn. of poststatin cycloalkylamide analogs as prolyl endopeptidase inhibitors)
- IT **72162-84-6**, Prolyl endopeptidase  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (prepn. of poststatin cycloalkylamide analogs as prolyl endopeptidase inhibitors)
- L13 ANSWER 4 OF 17 HCAPLUS COPYRIGHT 2002 ACS  
 ACCESSION NUMBER: 1996:592180 HCAPLUS  
 DOCUMENT NUMBER: 125:301554  
 TITLE: Poststatin, a new inhibitor of prolyl endopeptidase.  
 V. Endopeptidase inhibitory activity of poststatin analogs  
 AUTHOR(S): Tsuda, Makoto; Muraoka, Yasuhiko; Nagai, Machiko;  
 Aoyagi, Takaaki; Takeuchi, Tomio  
 CORPORATE SOURCE: Inst. Microbial Chem., Tokyo, 141, Japan  
 SOURCE: Journal of Antibiotics (1996), 49(9),  
 890-899  
 CODEN: JANTAJ; ISSN: 0021-8820  
 PUBLISHER: Japan Antibiotics Research Association  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English
- AB Thirty analogs of poststatin were synthesized, and their inhibitory activities against prolyl endopeptidase, human leukocyte elastase and cathepsin B were measured. In the .beta.-substituted-.beta.-amino-.alpha.-oxopropionic acid moiety of poststatin analogs, the .alpha.-keto group was essential and the S stereo configuration was more preferable than R for endopeptidase inhibitory activity. The analog in which the D-leucine residue of poststatin was replaced by L-leucine showed strong inhibitory activity to cathepsin B. Introduction of an arom. group into the P4 position and proline into the P2 position increased inhibitory activity to elastase. Benzyloxycarbonyl-L-homophenylalanyl-(RS)-3-amino-2-oxovaleryl-D-leucyl-L-valine was about 6 times more active to prolyl endopeptidase than natural poststatin.
- IT **9004-06-2**, Elastase  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (human leukocyte; prepn. of poststatin analogs and study of their endopeptidase inhibitory activities)
- IT **135219-43-1D**, Poststatin, analogs  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (prepn. of poststatin analogs and study of their endopeptidase inhibitory activities)
- IT **135219-45-3P 135219-46-4P 135219-48-6P**

135219-49-7P 135219-50-0P 135219-52-2P  
 135219-53-3P 135219-54-4P 135219-55-5P  
 135219-56-6P 135219-57-7P 135219-58-8P  
 135219-62-4P 135270-54-1P 135355-22-5P  
 141187-11-3P 182742-35-4P 182742-36-5P  
 182742-38-7P 182742-39-8P 182742-40-1P  
 182742-41-2P 182742-42-3P 182966-18-3P  
 182966-19-4P 182966-20-7P 182966-21-8P  
 182966-22-9P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(prepn. of poststatin analogs and study of their endopeptidase inhibitory activities)

IT 72162-84-6, Prolyl endopeptidase

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(prepn. of poststatin analogs and study of their endopeptidase inhibitory activities)

L13 ANSWER 5 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1996:108206 HCAPLUS

DOCUMENT NUMBER: 124:250214

TITLE: Comparison of sustained antithrombotic effects of inhibitors of thrombin and factor Xa in experimental thrombosis

AUTHOR(S): Biemond, Bart J.; Friederich, Philip W.; Levi, Marcel; Vlasuk, George P.; Buller, Harry R.; ten Cate, Jan W.

CORPORATE SOURCE: Center Hemostasis Thrombosis Atherosclerosis and Inflammation Research, Academic Medical Center, Amsterdam, 1105 AZ, Neth.

SOURCE: Circulation (1996), 93(1), 153-60  
 CODEN: CIRCAZ; ISSN: 0009-7322

PUBLISHER: American Heart Association

DOCUMENT TYPE: Journal

LANGUAGE: English

AB In the pathogenesis of (recurrent) thrombosis, clot-assocd. thrombin appears to play an important role. Antithrombin III-independent thrombin inhibitors have been shown to neutralize clot-bound thrombin effectively. We compared the sustained antithrombotic effects and the effects on endogenous fibrinolysis of several of these agents with recombinant anticoagulant peptide (rTAP), a selective factor Xa inhibitor, and low-mol.-wt. heparin (LMWH) in an exptl. venous thrombosis model. Rabbits received either recombinant hirudin (rHir), Hirulog-1, CVS#995 (a novel direct inhibitor of thrombin), rTAP, LMWH, or saline. The effect on thrombus growth was assessed by measuring the accretion of 125I-labeled fibrinogen onto preformed nonradioactive thrombi, and the effect on endogenous fibrinolysis was assessed by measuring the decline in radioactivity of preformed 125I-labeled thrombi in rabbit jugular veins. All direct thrombin inhibitors induced a sustained antithrombotic effect compared with either LMWH and rTAP. In addn., CVS#995 also further decreased thrombus size after stopping its infusion, which was due to a significant enhancement of endogenous fibrinolysis. Direct thrombin inhibition by rHir, Hirulog-1, or CVS#995 induces a sustained antithrombotic effect compared with rTAP and LMWH, which is most likely due to inhibition of clot-bound thrombin. CVS#995 was shown to also enhance the extent of endogenous fibrinolysis to a greater degree compared with rHir and might therefore be an interesting new antithrombotic agent for the treatment of venous and arterial thrombosis.

IT 129737-17-3, Tick anticoagulant peptide 166247-65-0

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(comparison of sustained antithrombotic effects of inhibitors of thrombin and factor Xa in exptl. thrombosis)

L13 ANSWER 6 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:700707 HCAPLUS  
DOCUMENT NUMBER: 123:106201  
TITLE: Inhibitors of human heart chymase based on a peptide library  
AUTHOR(S): Bastos, Margarita; Maeji, N. Joe; Abeles, Robert H.  
CORPORATE SOURCE: Dep. Biochemistry, Brandeis Univ., Waltham, MA, 02254, USA  
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (1995), 92(15), 6738-42  
CODEN: PNASA6; ISSN: 0027-8424  
PUBLISHER: National Academy of Sciences  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB The authors have synthesized two sets of noncleavable peptide-inhibitor libraries to map the S and S' subsites of human heart chymase. Human heart chymase is a chymotrypsin-like enzyme that converts angiotensin I to angiotensin II. The first library consists of peptides with 3-fluorobenzylpyruvamides in the P1 position. (Amino acid residues of substrates numbered P1, P2, etc., are toward the N-terminal direction, and P1', P2', etc., are toward the C-terminal direction from the scissile bond.). The P1' and P2' positions were varied to contain each one of the 20 naturally occurring amino acids and P3' was kept const. as an arginine. The second library consists of peptides with phenylalanine keto-amides at P1, glycine in P1', and benzyloxycarbonyl (Z)-isoleucine in P4. The P2 and P3 positions were varied to contain each of the naturally occurring amino acids, except for cysteine and methionine. The peptides of both libraries are attached to a solid support (pins). The peptides are evaluated by immersing the pins in a soln. of the target enzyme and evaluating the amt. of enzyme absorbed. The pins with the best inhibitors will absorb most enzyme. The libraries select the best and worst inhibitors within each group of peptides and provide an approx. ranking of the remaining peptides according to Ki. Through this library, the authors detd. that Z-Ile-Glu-Pro-Phe-CO2Me and (F)-Phe-CO-Glu-Asp-ArgOMe should be the best inhibitors of chymase in this collection of peptide inhibitors. The authors synthesized the peptides and found Ki values were 1 nM and 1 .mu.M, resp. The corresponding Ki values for chymotrypsin were 10 nM and 100 .mu.M. The use of libraries of inhibitors has advantages over the classical method of synthesis of potential inhibitors in soln.: the libraries are reusable, the same libraries can be used with a variety of different serine **proteases**, and the method allows the screening of hundreds of compds. in short periods of time.

IT 37259-58-8, Serine **protease** 97501-92-3,

Chymase

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(inhibitors of human heart chymase based on a peptide library)

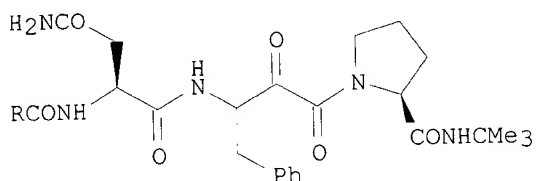
IT 166267-80-7P

RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)  
(inhibitors of human heart chymase based on a peptide library)

L13 ANSWER 7 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:440143 HCAPLUS  
DOCUMENT NUMBER: 123:112687  
TITLE: Synthesis and human immunodeficiency virus (HIV)-1 **protease** inhibitory activity of tripeptide

AUTHOR(S): analogs containing a dioxoethylene moiety  
 Kitazaki, Tomoyuki; Asano, Tsuneo; Kato, Koichi;  
 Kishimoto, Shoji; Itoh, Katsumi  
 CORPORATE SOURCE: Pharmaceutical Research Laboratories III, Takeda  
 Chemical Industries, Ltd., Osaka, 532, Japan  
 SOURCE: Chemical & Pharmaceutical Bulletin (1994),  
 42(12), 2636-40  
 CODEN: CPBTAL; ISSN: 0009-2363  
 PUBLISHER: Pharmaceutical Society of Japan  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 GI



- AB Tripeptide analogs I (R = PhCH<sub>2</sub>O, 2-quinolyl), contg. a dioxoethylene moiety, were designed based on the characteristic structure of the naturally occurring human immunodeficiency virus (HIV)-1 **protease** inhibitors RPI-856 A, B, C and D. I showed high inhibitory activity, comparable to that of RPI-856 A, against HIV-1 **protease** in vitro.
- IT **9001-92-7, Protease**  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (human immunodeficiency virus-1; synthesis and human immunodeficiency virus-1 **protease** inhibitory activity of tripeptide analogs contg. a dioxoethylene moiety)
- IT **157341-54-3 157381-54-9**  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)  
 (synthesis and human immunodeficiency virus-1 **protease** inhibitory activity of tripeptide analogs contg. a dioxoethylene moiety)

L13 ANSWER 8 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:227654 HCAPLUS  
 DOCUMENT NUMBER: 122:131140  
 TITLE: Poststatin and related compounds or salts thereof  
 INVENTOR(S): Takeuchi, Tomio; Aoyagi, Takaaki; Hamada, Masa;  
 Naganawa, Hiroshi; Ogawa, Keiji; Nagai, Machiko;  
 Muraoka, Yasuhiko; Tsuda, Makoto  
 PATENT ASSIGNEE(S): Zaidan Hojin Biseibutsu Kagaku Kenkyu KaI, Japan  
 SOURCE: U.S., 20 pp. Cont.-in-part of U.S. 5,162,500.  
 CODEN: USXXAM  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5359138	A	19941025	US 1992-905792	19920629 <--



EP 672648 A1 19950920 EP 1995-106762 19900413 <--  
 EP 672648 B1 19980923  
 R: DE, FR, GB, IT  
 US 5162500 A 19921110 US 1990-613759 19901207 <--  
 PRIORITY APPLN. INFO.: JP 1989-94328 19890415  
 US 1990-613759 19901207  
 EP 1990-905686 19900413  
 WO 1990-JP491 19900413

OTHER SOURCE(S): MARPAT 122:131140

AB A novel, biol. active substance, poststatin, was isolated from a culture medium of Streptomyces. The novel substance is a peptide compd. having a novel structure, wherein the peptide chains have ketone radicals. Thus the substance has a high endopeptidase inhibition activity. It is possible to chem. synthesize poststatin-related compds. having ketone radicals in the peptide chains. These compds. also have endopeptidase inhibition activity.

IT 135219-44-2P 135219-46-4P 135219-48-6P  
 135219-49-7P 135219-50-0P 135219-51-1P  
 135219-52-2P 135219-53-3P 135219-54-4P  
 135219-55-5P 135219-56-6P 135219-57-7P  
 135219-58-8P 135219-59-9P 135219-60-2P  
 135219-61-3P 135219-62-4P 135270-54-1P  
 135355-22-5P 141187-11-3P 141187-12-4P  
 141187-13-5P 141187-14-6P 141187-15-7P  
 160772-54-3P 160772-55-4P 160866-54-6P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (endopeptidase inhibiting poststatin deriv.)

IT 135219-43-1P, Poststatin

RL: BAC (Biological activity or effector, except adverse); BMF (Bioindustrial manufacture); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
 (endopeptidase inhibitor poststatin from Streptomyces viridochromogenes)

IT 37205-61-1, Proteinase inhibitor

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (endopeptidase inhibitor poststatin from Streptomyces viridochromogenes)

IT 72162-84-6, Prolylendopeptidase

RL: BSU (Biological study, unclassified); BIOL (Biological study)  
 (inhibitors; endopeptidase inhibitor poststatin from Streptomyces viridochromogenes)

L13 ANSWER 9 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1995:31017 HCAPLUS

DOCUMENT NUMBER: 122:133766

TITLE: Stereospecific Synthesis of Peptidyl .alpha.-Keto

AUTHOR(S): Amides as Inhibitors of Calpain  
 Harbeson, Scott L.; Abelleira, Susan M.; Akiyama, Alan; Barrett, Robert, III; Carroll, Renee M.; Straub, Julie Ann; Tkacz, Jaroslaw N.; Wu, Chichih; Musso, Gary F.

CORPORATE SOURCE: Alkermes Inc., Cambridge, MD, 02139-4136, USA

SOURCE: Journal of Medicinal Chemistry (1994),

37(18), 2918-29

CODEN: JMCMAR; ISSN: 0022-2623

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Peptidyl .alpha.-keto amides have been synthesized and tested as inhibitors of the cysteine **protease** calpain. A stereospecific synthesis was devised in which protected dipeptidyl .alpha.-hydroxy amides

were oxidized with TEMPO/hypochlorite to the corresponding .alpha.-keto amides. This oxidn. was accomplished in good yields and without epimerization of the chiral center adjacent to the ketone. The potent inhibition of porcine calpain I by the L,L diastereomers, combined with the poor inhibition by the L,D diastereomers, established the requirement for the all-L stereochem. of the active inhibitor. The early lead inhibitors were very hydrophobic and, therefore, poorly sol. in aq. solns. Using the stereospecific route, new compds. were prepd. with polar groups at the C- and N-termini. These modifications resulted in more sol. inhibitors that were still potent inhibitors of calpain. Studies of the stability of these .alpha.-keto amides showed that abs. stereochem. can be maintained in acidic and unbuffered environments but general base-catalyzed epimerization of the chiral center adjacent to the ketone occurred rapidly. The .alpha.-hydroxy precursors were inactive as inhibitors of calpain, which supports the hypothesis that the .alpha.-keto compds. reversibly form an enzyme-bound tetrahedral species that results from the nucleophilic addn. of the catalytic thiol of calpain to the electrophilic ketone of the inhibitor.

IT 78990-62-2, Calpain

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(pig calpain I; stereospecific synthesis of peptidyl .alpha.-keto amides as inhibitors of calpain)

IT 160801-90-1P 160801-92-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(stereospecific synthesis of peptidyl .alpha.-keto amides as inhibitors of calpain)

L13 ANSWER 10 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1994:650735 HCAPLUS

DOCUMENT NUMBER: 121:250735

TITLE: Novel retrovirus **protease** inhibitors,  
RPI-856 A, B, C, and D, produced by Streptomyces sp.  
AL-322

AUTHOR(S): Asano, Tsuneo; Matsuoka, Kunio; Hida, Tsuneaki;  
Kobayashi, Makoto; Kitamura, Yumiko; Hayakawa, Takaki;  
Iinuma, Shigemi; Kakinuma, Atsushi; Kato, Koichi  
CORPORATE SOURCE: Discovery Res. Div., Takeda Chem. Ind., Ltd., Osaka,  
532, Japan

SOURCE: Journal of Antibiotics (1994), 47(5), 557-65  
CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Four kinds of retrovirus **protease** (retropepsin) inhibitors (RPI-856 A, B, C, and D) were isolated as white powder from the culture filtrate of a soil isolate, Streptomyces sp. AL-322 by column chromatog. using Diaion HP-20, Sephadex LH-20, ODS reversed phase HPLC and SP-2SW ion-exchange HPLC. The structures of these inhibitors were elucidated by physicochem. properties, chem. reactions and spectral anal., as valyl-ADPAA-leucyl-AOPBA-valyl-valyl-aspartic acid (RPI-856 A and B) and valyl-ADPAA-leucyl-AOPBA-valyl-valine (RPI-856 C and D) [ADPAA = 2-amino-2-(3,5-dihydroxyphenyl)acetic acid, AOPBA = 3-amino-2-oxo-4-phenylbutyric acid]. RPI-856 A and B, and RPI-856 C and D were both detd. to be diastereomers to each other on the asym. C in AOPBA. These 4 inhibitors strongly inhibited in vitro HIV-1 and HTLV-1 retropepsins, both derived from recombinant Escherichia coli with IC50 of 10<sup>-7</sup>-10<sup>-8</sup> M.

IT 157381-54-9 157381-55-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(as retropepsin inhibitor from Streptomyces, isolation and characterization and redn. of)

IT 144114-21-6, Retropepsin

RL: PROC (Process)  
(inhibition of, of HIV-1 virus, by aminooxophenylbutyrate-contg.  
peptides from Streptomyces)

IT 157341-54-3 157341-55-4

RL: BIOL (Biological study)  
(retroviral **protease** inhibitor, from Streptomyces)

L13 ANSWER 11 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1993:573417 HCAPLUS  
DOCUMENT NUMBER: 119:173417  
TITLE: Prolyl endopeptidase inhibitors  
AUTHOR(S): Aoyagi, Takaaki; Muraoka, Yasuhiko  
CORPORATE SOURCE: Showa Coll. Pharm. Sci., Machida, 194, Japan  
SOURCE: Tanpakushitsu Kakusan Koso (1993), 38(11),  
1971-86

DOCUMENT TYPE: Journal; General Review  
LANGUAGE: Japanese

AB A review with 81 refs. on prolyl endopeptidase (PEP) and its inhibitors, poststatins. It had been found that PEP activity was increased in spleen of systemic lupus erythematosus model animal and brain tissue of Alzheimer's disease (AD). Properties of PEP, gradual changes of enzyme activity in spleen of control mouse DBA/Z and hybrid mouse NZB/W, correlation between PEP activity and Pro-IP activity in each organ or in spleen are described. Changes in brain or in serum **protease** activity of AD are also described. Structure and activity of postatin, an inhibitor of PEP isolated from *S. viridochromogenes*, and its analog are summarized.

IT 135219-43-1D, Poststatin, derivs.

RL: BIOL (Biological study)  
(for treatment of systemic lupus erythematosus and Alzheimer's disease, as prolyl endopeptidase inhibitors)

IT 72162-84-6, Prolyl endopeptidase

RL: BIOL (Biological study)  
(inhibitors of, poststatins as, for treatment of systemic lupus erythematosus and Alzheimer's disease)

L13 ANSWER 12 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1992:612981 HCAPLUS  
DOCUMENT NUMBER: 117:212981  
TITLE: Preparation of peptides containing  
.beta.-amino-.alpha.-ketoacid groups as  
**protease** inhibitors  
INVENTOR(S): Yamada, Fumika; Sugimura, Hideo; Someno, Tetsuya;  
Muraoka, Yasuhiko; Tsuda, Makoto; Takeuchi, Tomio;  
Aoyanagi, Takaaki  
PATENT ASSIGNEE(S): Nippon Kayaku Co., Ltd., Japan  
SOURCE: Jpn. Kokai Tokkyo Koho, 14 pp.  
CODEN: JKXXAF  
DOCUMENT TYPE: Patent  
LANGUAGE: Japanese  
FAMILY ACC. NUM. COUNT: 1  
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04149166	A2	19920522	JP 1990-272183	19901012 <--

OTHER SOURCE(S): MARPAT 117:212981

AB XNHCHRCOCY [I; X = H, amino, (un)protected peptide or amino acid residue;  
Y = (un)protected peptide or amino acid residue; R = (un)substituted Ph or  
naphthyl] are prepd. as **protease** inhibitors (no data). Thus,  
N-acylation of threo-3-amino-2-hydroxy-4-(o-methoxyphenyl)butyric acid  
with di-tert-Bu dicarbonate in 1N NaOH and dioxane and condensation of the

resultant threo-3-tert-butoxycarbonylamino-2-hydroxy-4-(o-methoxyphenyl)butyric acid (64.5% yield) with H-D-Val-Val-OCH<sub>2</sub>Ph.CF<sub>3</sub>CO<sub>2</sub>H in the presence of 1-hydroxybenzotriazole and DCC in CH<sub>2</sub>Cl<sub>2</sub> gave 80.5% N-[(3RS)-3-tert-butoxycarbonylamino-2-hydroxy-4-(o-methoxyphenyl)butanoyl]-D-leucyl-L-valine benzyl ester which was oxidized with pyridine trifluoroacetate, DCC, and DMSO in benzene to give 73.1% N-[(3RS)-3-tert-butoxycarbonylamino-2-oxo-4-(o-methoxyphenyl)butanoyl]-D-leucyl-L-valine benzyl ester. A total of 18 I were prepd.

IT 9001-92-7, **Protease**

RL: USES (Uses)

(inhibitors, arylaminooxobutyric acid-contg. peptides)

IT 144138-91-0P 144138-92-1P 144138-93-2P  
144138-94-3P 144138-95-4P 144138-96-5P  
144138-97-6P 144138-98-7P 144138-99-8P  
144139-00-4P 144139-01-5P 144139-02-6P  
144139-03-7P 144139-04-8P 144139-05-9P  
144139-06-0P 144139-07-1P 144139-17-3P  
144179-34-0P 144179-35-1P 144179-36-2P  
144179-37-3P 144179-38-4P 144179-39-5P  
144179-40-8P 144179-44-2P 144179-45-3P  
144179-46-4P 144179-47-5P 144179-48-6P  
144179-49-7P 144179-50-0P 144179-51-1P  
144179-52-2P 144179-53-3P 144239-26-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(prepn. of, as **protease** inhibitor)

L13 ANSWER 13 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1992:236175 HCAPLUS

DOCUMENT NUMBER: 116:236175

TITLE: Preparation of peptides containing  
3-amino-2-oxoalkanoic acid residue as endopeptidase  
inhibitors

INVENTOR(S): Takeuchi, Tomio; Aoyanagi, Takaaki; Muraoka, Yasuhiko;  
Tsuda, Makoto; Nagai, Machiko

PATENT ASSIGNEE(S): Microbiochemical Research Foundation, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 16 pp.  
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04001140	A2	19920106	JP 1990-99174	19900413 <--

OTHER SOURCE(S): MARPAT 116:236175

AB Peptides contg. NHCHR1COCO (R1 = satd. or unsatd. hydrocarbyl) fragments are prepd. Et<sub>3</sub>N and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide perchlorate were added to a soln. of Boc-D-Leu-OH. H<sub>2</sub>O, L-valine benzyl ester tosylate, and N-hydroxybenzotriazole in CH<sub>2</sub>Cl<sub>2</sub> under cooling and the mixt. was stirred at room temp. to give 97.8% Boc-D-Leu-Val-OCH<sub>2</sub>Ph, which as the CF<sub>3</sub>CO<sub>2</sub>H salt was coupled with (2R,3S)-3-p-methoxybenzyloxycarbonylamino-2-hydroxypentanoic acid and further coupled with valine twice, and subsequent oxidn., to give Z-Val-Val-(S)-NHCH<sub>2</sub>EtCOCO-D-Leu-Val-OCH<sub>2</sub>Ph, which showed IC<sub>50</sub> of 1 .mu.g/mL against prolyl endopeptidase, 75 .mu.g/mL against elastase, and 100 .mu.g/mL against cathepsin B.

IT 9001-92-7, **Endopeptidase**

RL: USES (Uses)

(inhibitors, aminooxoalkanoyl peptides)

IT 135219-43-1P 135219-45-3P 135219-46-4P  
135219-47-5P 135219-48-6P 135219-49-7P  
135219-50-0P 135219-52-2P 135219-53-3P

135219-54-4P 135219-55-5P 135219-56-6P  
 135219-57-7P 135219-58-8P 135219-59-9P  
 135219-60-2P 135219-61-3P 135219-62-4P  
 135270-54-1P 135355-22-5P 141187-10-2P  
 141187-11-3P 141187-12-4P 141187-13-5P  
 141187-14-6P 141187-15-7P 141270-17-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. of, as endopeptidase inhibitor)

L13 ANSWER 14 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1991:675338 HCAPLUS

DOCUMENT NUMBER: 115:275338

TITLE: Poststatin, a new inhibitor of prolyl endopeptidase,  
 produced by Streptomyces viridochromogenes MH534-30F3.  
 I. Taxonomy, production, isolation, physico-chemical  
 properties and biological activities

AUTHOR(S): Aoyagi, Takaaki; Nagai, Machiko; Ogawa, Keiji; Kojima,  
 Fukiko; Okada, Mayumi; Ikeda, Takako; Hamada, Masa;  
 Takeuchi, Tomio

CORPORATE SOURCE: Inst. Microb. Chem., Tokyo, 141, Japan

SOURCE: Journal of Antibiotics (1991), 44(9), 949-55

CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Poststatin, a new inhibitor of prolyl endopeptidase (PEP) was discovered  
 in the fermn. broth of S. viridochromogenes MH534-30F3. It was purified  
 by Dianion HP-20, Sephadex LH-20 and YMC-gel (ODS-A) column chromatog. and  
 then isolated as a colorless powder. Poststatin has the mol. formula  
 C<sub>26</sub>H<sub>47</sub>N<sub>5</sub>O<sub>7</sub>. The IC<sub>50</sub> value of poststatin against the PEP of partially  
 purified porcine kidney was 0.03 .mu.g/mL. It has low acute toxicity. No  
 deaths occurred after i.v. injection of 250 mg/kg of this agent to mice.

IT 72162-84-6, Prolyl endopeptidase

RL: PROC (Process)

(inhibition of, by poststatin from Streptomyces viridochromogenes)

IT 135219-43-1, Poststatin

RL: BIOL (Biological study)

(prolyl endopeptidase inhibitor, from Streptomyces viridochromogenes)

L13 ANSWER 15 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1991:673762 HCAPLUS

DOCUMENT NUMBER: 115:273762

TITLE: Poststatin, a new inhibitor of prolyl endopeptidase,  
 produced by Streptomyces viridochromogenes MH534-30F3.

AUTHOR(S): II. Structure determination and inhibitory activities  
 Nagai, Machiko; Ogawa, Keiji; Muraoka, Yasuhiko;  
 Naganawa, Hiroshi; Aoyagi, Takaaki; Takeuchi, Tomio

CORPORATE SOURCE: Inst. Microb. Chem., Tokyo, 141, Japan

SOURCE: Journal of Antibiotics (1991), 44(9), 956-61

CODEN: JANTAJ; ISSN: 0021-8820

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Poststatin, a new inhibitor of prolyl endopeptidase, has been isolated  
 from the culture broth of S. viridochromogenes MH534-30F3. The structure  
 of poststatin was defined as L-valyl-L-valyl-3-amino-2-oxovaleryl-D-leucyl-  
 L-valine by anal. of spectral properties and chem. studies of poststatin  
 and its derivs. The .alpha.-keto group of postine in poststatin plays the  
 most important role on the inhibitory mechanism.

IT 74506-45-9, Prolyl endopeptidase

RL: PROC (Process)

(poststatin of Streptomyces viridochromogenes inhibition of)

IT 135219-43-1, Poststatin

RL: BIOL (Biological study)

(structure of and prolyl endopeptidase inhibition by, of Streptomyces

viridochromogenes)

L13 ANSWER 16 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1991:515068 HCAPLUS  
 DOCUMENT NUMBER: 115:115068  
 TITLE: Synthesis and endopeptidase inhibitory activity of poststatin and its analogs  
 AUTHOR(S): Tsuda, Makoto; Muraoka, Yasuhiko; Nagai, Machiko; Aoyagi, Takaaki; Takeuchi, Tomio  
 CORPORATE SOURCE: Inst. Microb. Chem., Tokyo, 141, Japan  
 SOURCE: Peptide Chemistry (1991), 28th, 223-8  
 CODEN: PECHDP; ISSN: 0388-3698  
 DOCUMENT TYPE: Journal  
 LANGUAGE: English  
 AB A symposium report on the prepn. and endopeptidase inhibitory activity of poststatin [H-Val-Val-Pos-D-Leu-Val-OH; Pos = (S)-H<sub>2</sub>NCH<sub>2</sub>EtCOCO<sub>2</sub>H] and its analogs.  
 IT 72162-84-6, Prolyl endopeptidase  
 RL: USES (Uses)  
 (inhibitors, poststatin and its analogs)  
 IT 135219-43-1DP, analogs 135219-43-1P, Poststatin  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (prepn. and endopeptidase-inhibiting activity of)

L13 ANSWER 17 OF 17 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1991:490647 HCAPLUS  
 DOCUMENT NUMBER: 115:90647  
 TITLE: Microbial preparation of postostatin and chemical synthesis of related compounds  
 INVENTOR(S): Takeuchi, Tomio; Aoyagi, Takaaki; Hamada, Masa; Naganawa, Hiroshi; Muraoka, Yasuhiko; Ogawa, Keiji; Nagai, Machiko; Tsuda, Makoto  
 PATENT ASSIGNEE(S): Zaidan Hojin Biseibutsu Kagaku Kenkyu Kai, Japan  
 SOURCE: PCT Int. Appl., 85 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 2  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9012805	A1	19901101	WO 1990-JP491	19900413 <--
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
EP 423358	A1	19910424	EP 1990-905686	19900413 <--
R: DE, FR, GB, IT				
EP 672648	A1	19950920	EP 1995-106762	19900413 <--
EP 672648	B1	19980923		
R: DE, FR, GB, IT				
US 5162500	A	19921110	US 1990-613759	19901207 <--
PRIORITY APPLN. INFO.:				
			JP 1989-94328	19890415
			EP 1990-905686	19900413
			WO 1990-JP491	19900413

OTHER SOURCE(S): MARPAT 115:90647

AB Postostatin (I) and its analogs X-NHCR1HCOCOY ((protected peptide residues, (NH<sub>2</sub>-protected) amino acid residues; R1 = (un)satd. hydrocarbons; configuration of R1-bonded C atom is S or RS; Y = (protected peptide residues, s (HO<sub>2</sub>C-protected) amino acid residues), potent endopeptidase inhibitors having pharmaceutical applications, are manufd. by Streptomyces or by chem. synthesis. S. viridochromogenes was cultured by conventional methods at 27.degree. for 4 days. From 12.5-L culture filtrate, I 20 mg was recovered after a series of chromatog. and HPLC. I

- (m.p. 169-171.degree.) having a defined peptide structure was also characterized with IR and NMR. Chem. synthesis of I and a variety of analogs, e.g. Z-L-phenylalanyl-(RS)-3-amino-2-oxopentanoyl-D-leucyl-L-valine tert Bu ester from amino acids and evaluation of their activities against elastase, cathepsin B, prolyl endopeptidase were also described. A pharmaceutical tablet compn. contg. I was given.
- IT 9001-92-7, Endopeptidase 9004-06-2, Elastase  
74506-45-9, Prolyl endopeptidase  
RL: BIOL (Biological study)  
(inhibitors of, postostatin and analogs as)
- IT 135219-43-1P, Postostatin  
RL: BMF (Bioindustrial manufacture); BIOL (Biological study); PREP (Preparation)  
(manuf. of, with Streptomyces, as endopeptidase inhibitor)
- IT 135219-44-2P 135219-45-3P 135219-46-4P  
135219-47-5P 135219-48-6P 135219-49-7P  
135219-50-0P 135219-51-1P 135219-52-2P  
135219-53-3P 135219-54-4P 135219-55-5P  
135219-56-6P 135219-57-7P 135219-58-8P  
135219-59-9P 135219-60-2P 135219-61-3P  
135219-62-4P 135270-54-1P 135355-22-5P  
RL: PREP (Preparation)  
(postostatin analog, prepn. of, as endopeptidase inhibitor)
- IT 135219-43-1DP, analogs  
RL: PREP (Preparation)  
(prepn. of, as endopeptidase inhibitors)

=> select hit rn l13 1-17  
E39 THROUGH E143 ASSIGNED

=> fil reg  
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USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
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DICTIONARY FILE UPDATES: 15 NOV 2002 HIGHEST RN 473758-49-5

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Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:  
<http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf>

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FILE 'REGISTRY' ENTERED AT 09:47:24 ON 18 NOV 2002

L14

98 S E39-E143 NOT L12

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 97 RN 37205-61-1 REGISTRY  
 DR 139074-30-9, 144716-05-2, 144132-75-2  
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 DR 9001-21-2, 139074-64-9, 75603-19-9, 83682-98-8

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L14 ANSWER 1 OF 98 REGISTRY COPYRIGHT 2002 ACS

RN 210290-94-1 REGISTRY

CN D-Alanine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-L-valyl-N,N-dimethyl-L-asparaginyl-3-amino-2-oxobutanoyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

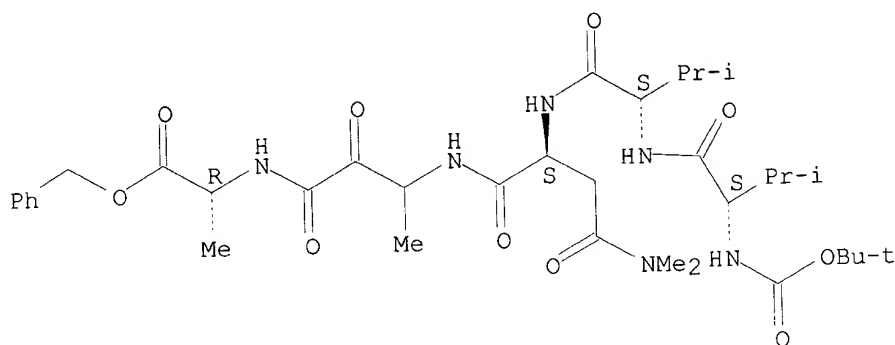
FS PROTEIN SEQUENCE; STEREOSEARCH

MF C35 H54 N6 O10

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 129:122872

L14 ANSWER 2 OF 98 REGISTRY COPYRIGHT 2002 ACS

RN **199467-27-1** REGISTRY

CN 2-Oxa-4,7,11-triazatridecan-13-oic acid, 5-(3-aminopropyl)-8-methyl-12-(2-methylpropyl)-3,6,9,10-tetraoxo-1-phenyl-, [5S-(5R\*,8R\*,12R\*)]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

FS STEREOSEARCH

MF C23 H34 N4 O7 . C2 H F3 O2

SR CA

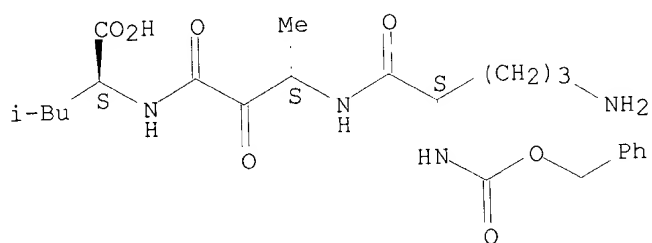
LC STN Files: CA, CAPLUS

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CRN 199467-26-0

CMF C23 H34 N4 O7

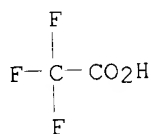
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



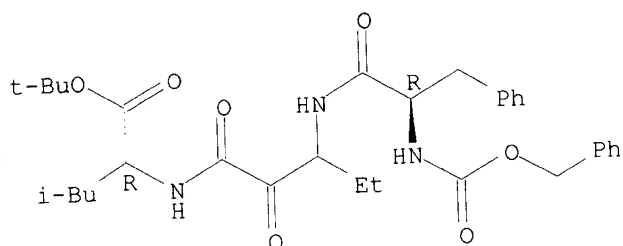
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1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 128:35014

L14 ANSWER 7 OF 98 REGISTRY COPYRIGHT 2002 ACS  
 RN **182966-22-9** REGISTRY  
 CN D-Leucine, N-[(phenylmethoxy)carbonyl]-D-phenylalanyl-2-oxo-3-aminopentanoyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C32 H43 N3 O7  
 SR CA  
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.



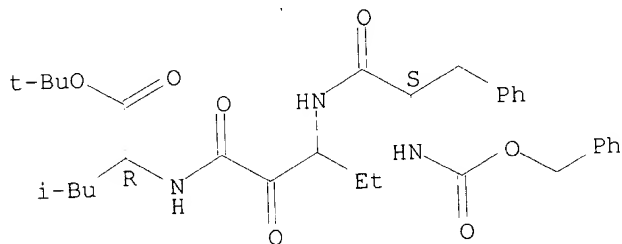
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1 REFERENCES IN FILE CA (1962 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 125:301554

L14 ANSWER 12 OF 98 REGISTRY COPYRIGHT 2002 ACS  
 RN **182742-42-3** REGISTRY  
 CN D-Leucine, N-[(phenylmethoxy)carbonyl]-L-phenylalanyl-2-oxo-3-aminopentanoyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)  
 FS STEREOSEARCH  
 MF C32 H43 N3 O7  
 SR CA  
 LC STN Files: CA, CAPLUS

Absolute stereochemistry.

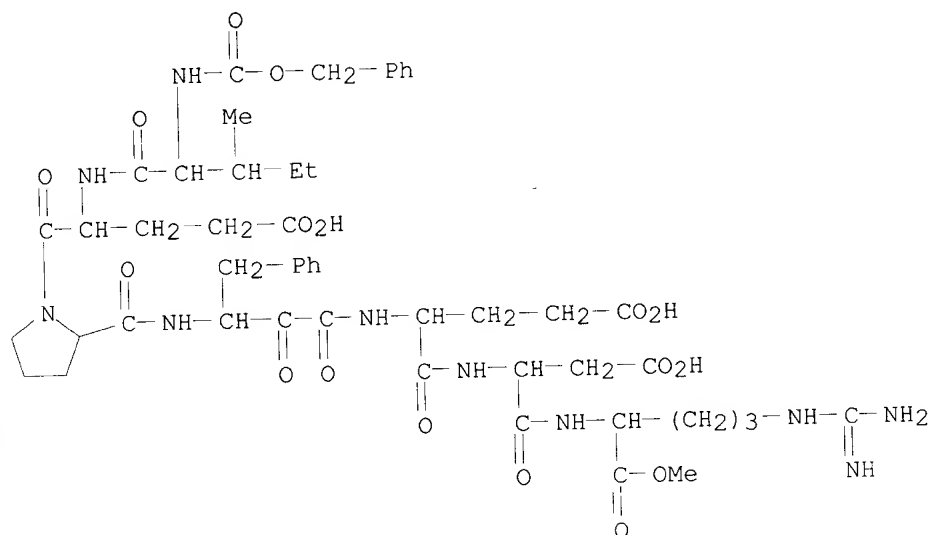


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 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 125:301554

L14 ANSWER 19 OF 98 REGISTRY COPYRIGHT 2002 ACS  
 RN **166267-80-7** REGISTRY  
 CN L-Arginine, N-[(phenylmethoxy)carbonyl]-L-isoleucyl-L-.alpha.-glutamyl-L-prolyl-2-oxo-4-phenyl-3-aminobutanoyl-L-.alpha.-glutamyl-L-.alpha.-aspartyl-, 7-methyl ester (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE  
 MF C50 H68 N10 O17  
 SR CA  
 LC STN Files: CA, CAPLUS



1 REFERENCES IN FILE CA (1962 TO DATE)  
 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 123:106201

L14 ANSWER 20 OF 98 REGISTRY COPYRIGHT 2002 ACS  
 RN **166247-65-0** REGISTRY  
 CN L-Leucine, N-(1-oxo-2-propylpentyl)-L-.alpha.-aspartyl-L-prolyl-N6-(aminoiminomethyl)-2-oxo-(S)-3,6-diaminohexanoylglycylglycylglycylglycylglycyl-L-asparaginylglycyl-L-.alpha.-aspartyl-L-phenylalanyl-L-.alpha.-glutamyl-L-.alpha.-glutamyl-L-isoleucyl-L-prolyl-L-.alpha.-glutamyl-L-tyrosyl- (9CI) (CA INDEX NAME)

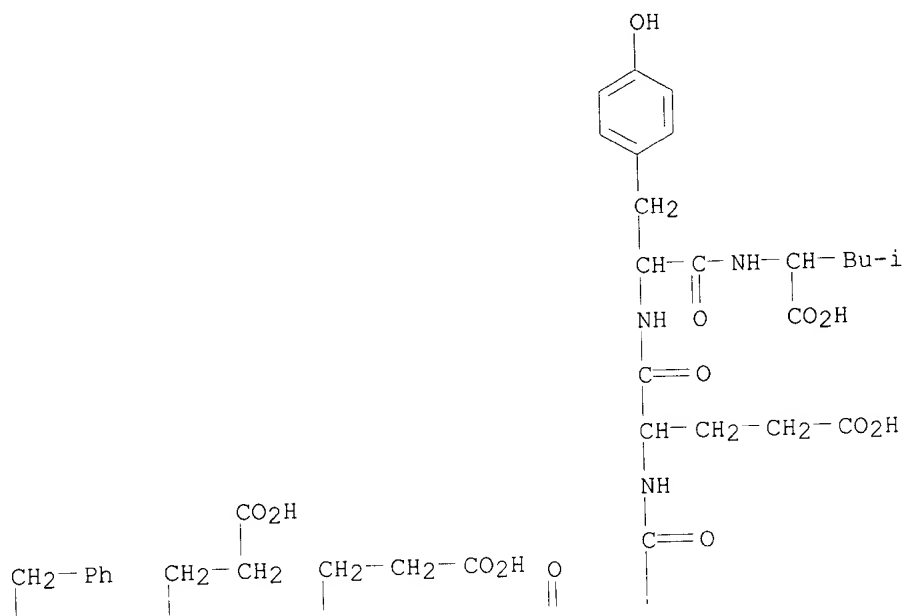
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 DR 174970-72-0, 175278-85-0  
 MF C94 H137 N23 O34  
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 LC STN Files: ADISINSIGHT, ADISNEWS, CA, CAPLUS, DRUGNL, DRUGUPDATES, PHAR, USPATFULL

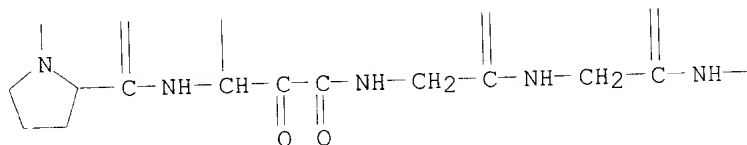
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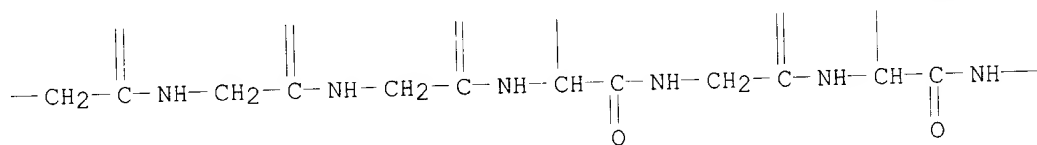
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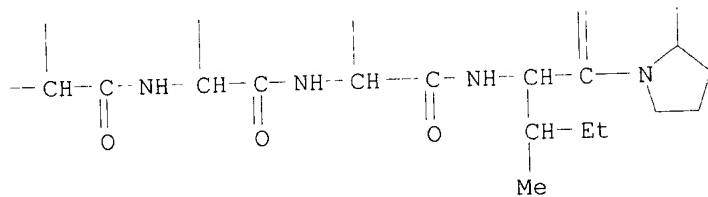
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PAGE 2-B



PAGE 2-C



4 REFERENCES IN FILE CA (1962 TO DATE)  
4 REFERENCES IN FILE CAPLUS (1962 TO DATE)

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 REFERENCE 2: 124:282995  
 REFERENCE 3: 124:250214  
 REFERENCE 4: 123:144645

L14 ANSWER 21 OF 98 REGISTRY COPYRIGHT 2002 ACS

RN **160772-55-4** REGISTRY

CN D-Leucine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-2-oxo-3-aminopentanoyl-, butyl ester (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN D-Leucine, N-[(1,1-dimethylethoxy)carbonyl]-L-valyl-2-oxo-DL-3-aminopentanoyl-, butyl ester

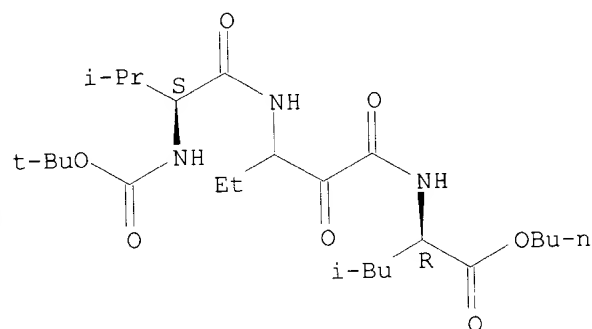
FS STEREOSEARCH

MF C25 H45 N3 O7

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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 1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 122:131140

L14 ANSWER 23 OF 98 REGISTRY COPYRIGHT 2002 ACS

RN **157381-55-0** REGISTRY

CN L-Valine, L-valyl-2-(3,5-dihydroxyphenyl)glycyl-L-leucyl-2-oxo-4-phenyl-3-aminobutanoyl-L-valyl- (9CI) (CA INDEX NAME)

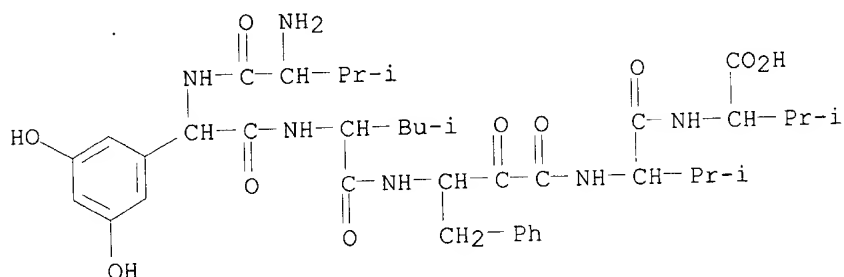
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MF C39 H56 N6 O10

SR CA

LC STN Files: CA, CANCERLIT, CAPLUS, MEDLINE

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

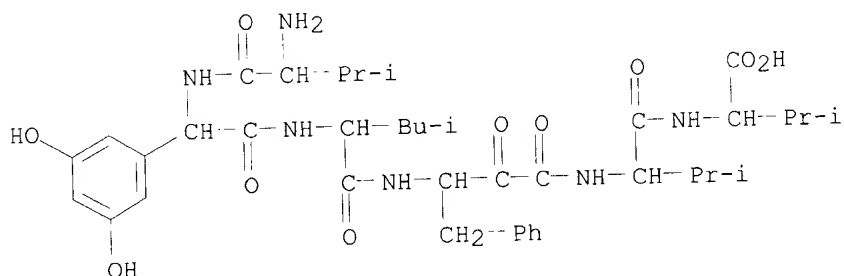


1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 121:250735

L14 ANSWER 25 OF 98 REGISTRY COPYRIGHT 2002 ACS  
RN **157341-55-4** REGISTRY  
CN L-Valine, L-valyl-2-(3,5-dihydroxyphenyl)glycyl-L-leucyl-2-oxo-4-phenyl-3-aminobutanoyl-L-valyl- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE  
MF C39 H56 N6 O10  
SR CA  
LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

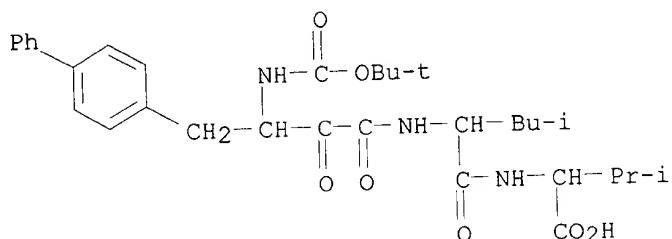


1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 121:250735

L14 ANSWER 27 OF 98 REGISTRY COPYRIGHT 2002 ACS  
RN **144239-26-9** REGISTRY  
CN L-Valine, N-[N-[4-[1,1'-biphenyl]-4-yl-3-[[[(1,1-dimethylethoxy)carbonyl]amino]-1,2-dioxobutyl]-D-leucyl]-, (R)- (9CI) (CA INDEX NAME)  
MF C32 H43 N3 O7  
SR CA  
LC STN Files: CA, CAPLUS





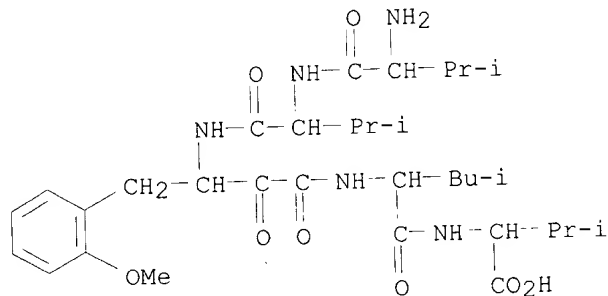
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 117:212981

L14 ANSWER 28 OF 98 REGISTRY COPYRIGHT 2002 ACS  
RN **144179-53-3** REGISTRY  
CN L-Valine, L-valyl-L-valyl-4-(2-methoxyphenyl)-2-oxo-(S)-3-aminobutanoyl-D-leucyl-, monohydrochloride (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE  
MF C32 H51 N5 O8 . C1 H  
SR CA  
LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

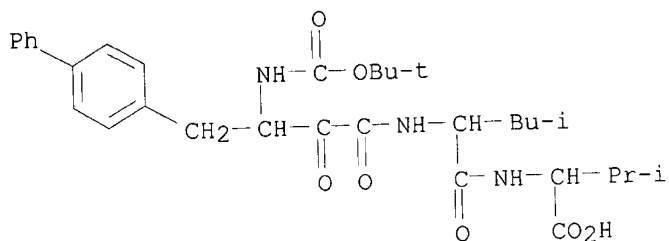


● HCl

1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 117:212981

L14 ANSWER 45 OF 98 REGISTRY COPYRIGHT 2002 ACS  
RN **144139-17-3** REGISTRY  
CN L-Valine, N-[N-[4-[1,1'-biphenyl]-4-yl-3-[[1,1-dimethylethoxy)carbonyl]amino]-1,2-dioxobutyl]-D-leucyl]-, (S)- (9CI) (CA INDEX NAME)  
MF C32 H43 N3 O7  
SR CA  
LC STN Files: CA, CAPLUS



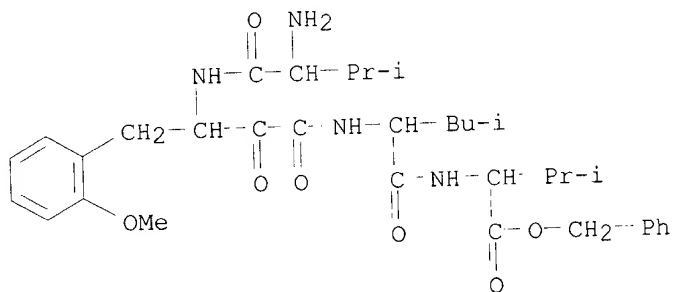
\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 117:212981

L14 ANSWER 54 OF 98 REGISTRY COPYRIGHT 2002 ACS  
RN **144138-99-8** REGISTRY  
CN L-Valine, L-valyl-4-(2-methoxyphenyl)-2-oxo-(R)-3-aminobutanoyl-D-leucyl-,  
phenylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE  
MF C34 H48 N4 O7 . Cl H  
SR CA  
LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*



● HCl

1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 117:212981

L14 ANSWER 63 OF 98 REGISTRY COPYRIGHT 2002 ACS  
RN **144114-21-6** REGISTRY  
CN Retropepsin (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN Avian leukosis virus proteinase  
CN E.C. 3.4.23.16  
CN Endogenous retroviral proteinase  
CN FIV proteinase  
CN Gag Protease

CN HIV aspartyl protease  
 CN HIV protease  
 CN HIV proteinase  
 CN HIV-1 aspartyl protease  
 CN HIV-1 aspartyl proteinase  
 CN HIV-1 protease  
 CN HIV-1 proteinase  
 CN HIV-1 virus aspartyl proteinase  
 CN HIV-1 virus protease  
 CN HIV-2 protease  
 CN HTLV proteinase  
 CN HTLV-1 proteinase  
 CN Human immunodeficiency virus protease  
 CN Mason-Pfizer monkey virus protease  
 CN Moloney murine leukemia virus protease  
 CN Retroproteinase  
 CN Rous sarcoma virus protease  
 CN RSV proteinase  
 CN Simian immunodeficiency virus aspartyl proteinase  
 MF Unspecified  
 CI COM, MAN  
 SR CA  
 LC STN Files: AGRICOLA, BIOBUSINESS, BIOSIS, CA, CAPLUS, CASREACT, CIN,  
 PROMT, TOXCENTER, USPAT2, USPATFULL

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

2570 REFERENCES IN FILE CA (1962 TO DATE)  
 90 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
 2574 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 137:310904  
 REFERENCE 2: 137:310820  
 REFERENCE 3: 137:306865  
 REFERENCE 4: 137:306498  
 REFERENCE 5: 137:304747  
 REFERENCE 6: 137:304740  
 REFERENCE 7: 137:304331  
 REFERENCE 8: 137:304328  
 REFERENCE 9: 137:304327  
 REFERENCE 10: 137:304178

L14 ANSWER 64 OF 98 REGISTRY COPYRIGHT 2002 ACS

RN 141270-17-9 REGISTRY

CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-2-oxo-3-  
 aminopentanoyl-D-leucyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-2-oxo-DL-3-  
 aminopentanoyl-D-leucyl-, phenylmethyl ester

FS PROTEIN SEQUENCE; STEREOSEARCH

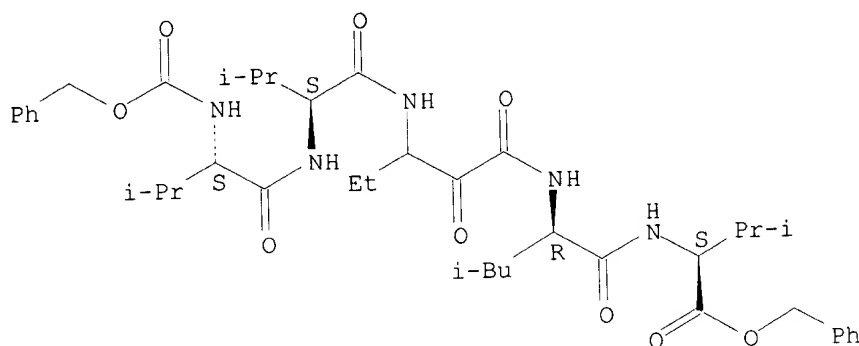
MF C41 H59 N5 O9

SR CA

LC STN Files: CA, CAPLUS

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



1 REFERENCES IN FILE CA (1962 TO DATE)  
1 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 116:236175

L14 ANSWER 65 OF 98 REGISTRY COPYRIGHT 2002 ACS

RN 141187-15-7 REGISTRY

CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-2-oxo-3-aminopentanoyl-D-leucyl-, phenylmethyl ester (9CI) (CA INDEX NAME)

OTHER CA INDEX NAMES:

CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-2-oxo-DL-3-aminopentanoyl-D-leucyl-, phenylmethyl ester

FS PROTEIN SEQUENCE; STEREOSEARCH

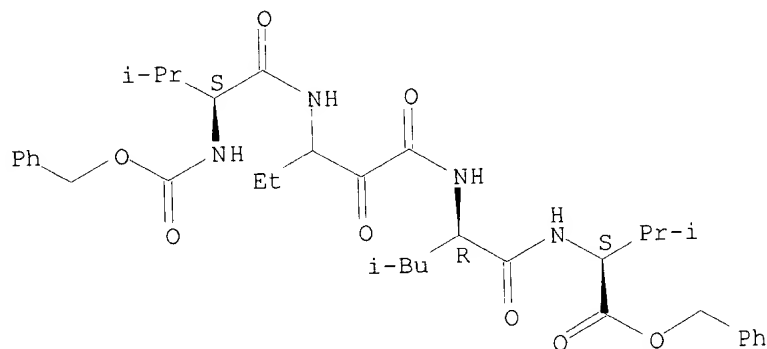
MF C36 H50 N4 O8

SR CA

LC STN Files: CA, CAPLUS, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



2 REFERENCES IN FILE CA (1962 TO DATE)  
2 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 122:131140

REFERENCE 2: 116:236175

L14 ANSWER 71 OF 98 REGISTRY COPYRIGHT 2002 ACS

RN 139691-88-6 REGISTRY

CN Proteinase, assembly protein precursor-processing (9CI) (CA INDEX NAME)  
OTHER NAMES:  
CN Assemblin  
CN Assembly protein precursor-processing proteinase  
CN Cytomegalovirus protease  
CN Gene UL26 protease  
CN Herpes simplex virus 1 proteinase Pra  
CN Herpesvirus serine proteinase  
CN HSV 1 protease  
CN Human cytomegalovirus maturational proteinase  
CN Human cytomegalovirus protease  
CN Human cytomegalovirus proteinase  
CN Kaposi's sarcoma-associated herpesvirus protease  
CN Varicella-zoster virus gene 33 proteinase  
MF Unspecified  
CI MAN  
SR CA  
LC STN Files: AGRICOLA, BIOBUSINESS, BIOSIS, CA, CAPLUS, IPA, PROMT,  
TOXCENTER, USPATFULL

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

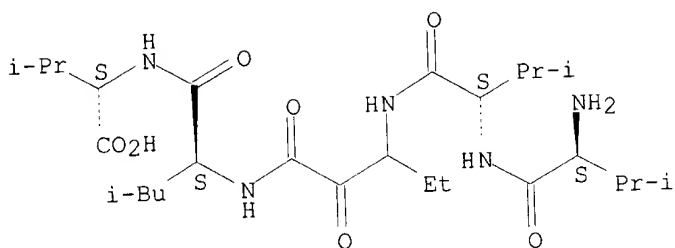
122 REFERENCES IN FILE CA (1962 TO DATE)  
5 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA  
122 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 137:307189  
REFERENCE 2: 137:290752  
REFERENCE 3: 137:288515  
REFERENCE 4: 137:194828  
REFERENCE 5: 137:163117  
REFERENCE 6: 137:75611  
REFERENCE 7: 136:366247  
REFERENCE 8: 136:243681  
REFERENCE 9: 136:184121  
REFERENCE 10: 136:184115

L14 ANSWER 72 OF 98 REGISTRY COPYRIGHT 2002 ACS  
RN 135355-22-5 REGISTRY  
CN L-Valine, L-valyl-L-valyl-2-oxo-3-aminopentanoyl-L-leucyl- (9CI) (CA  
INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C26 H47 N5 O7  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



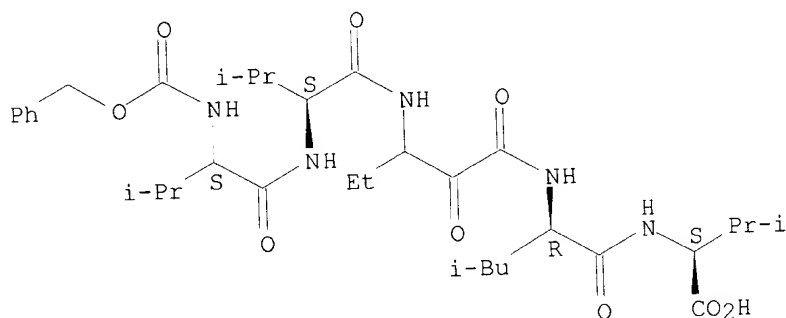
4 REFERENCES IN FILE CA (1962 TO DATE)  
4 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 125:301554  
REFERENCE 2: 122:131140  
REFERENCE 3: 116:236175  
REFERENCE 4: 115:90647

L14 ANSWER 73 OF 98 REGISTRY COPYRIGHT 2002 ACS  
RN 135270-54-1 REGISTRY  
CN L-Valine, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-2-oxo-3-aminopentanoyl-D-leucyl- (9CI) (CA INDEX NAME)  
FS PROTEIN SEQUENCE; STEREOSEARCH  
MF C34 H53 N5 O9  
SR CA  
LC STN Files: CA, CAPLUS, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



4 REFERENCES IN FILE CA (1962 TO DATE)  
4 REFERENCES IN FILE CAPLUS (1962 TO DATE)

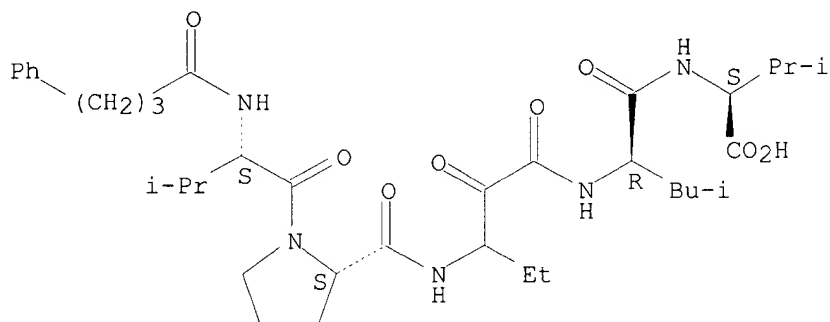
REFERENCE 1: 125:301554  
REFERENCE 2: 122:131140  
REFERENCE 3: 116:236175  
REFERENCE 4: 115:90647

L14 ANSWER 74 OF 98 REGISTRY COPYRIGHT 2002 ACS  
RN 135219-62-4 REGISTRY

CN L-Valine, N-(1-oxo-4-phenylbutyl)-L-valyl-L-prolyl-2-oxo-3-aminopentanoyl-  
 D-leucyl- (9CI) (CA INDEX NAME)  
 FS PROTEIN SEQUENCE; STEREOSEARCH  
 MF C36 H55 N5 O8  
 SR CA  
 LC STN Files: CA, CAPLUS, USPATFULL

\*\*RELATED SEQUENCES AVAILABLE WITH SEQLINK\*\*

Absolute stereochemistry.



4 REFERENCES IN FILE CA (1962 TO DATE)

4 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 125:301554

REFERENCE 2: 122:131140

REFERENCE 3: 116:236175

REFERENCE 4: 115:90647

L14 ANSWER 94 OF 98 REGISTRY COPYRIGHT 2002 ACS

RN **129737-17-3** REGISTRY

CN Proteinase inhibitor, TAP (9CI) (CA INDEX NAME)

OTHER NAMES:

CN Tick anticoagulant peptide

MF Unspecified

CI MAN

SR CA

LC STN Files: ADISINSIGHT, AGRICOLA, BIOSIS, CA, CAPLUS, CIN, TOXCENTER,  
 USPATFULL

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

40 REFERENCES IN FILE CA (1962 TO DATE)

4 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

40 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 137:88551

REFERENCE 2: 134:290412

REFERENCE 3: 134:130256

REFERENCE 4: 134:14520

REFERENCE 5: 132:318927

REFERENCE 6: 132:290352

REFERENCE 7: 132:231982

REFERENCE 8: 132:216407

REFERENCE 9: 132:133895

REFERENCE 10: 131:55770

L14 ANSWER 95 OF 98 REGISTRY COPYRIGHT 2002 ACS

RN 97501-92-3 REGISTRY

CN Chymase (9CI) (CA INDEX NAME)

OTHER NAMES:

CN E.C. 3.4.21.39

CN Lymphocyte chymase I

CN Mast cell protease I

CN Mast cell protease-1

CN Mast cell proteinase I

CN Mast cell serine proteinase I

CN Proteinase RMCP-1

CN Proteinase, mast cell serine, chymase

CN Proteinase, mast cell serine, I

CN Rat mast cell protease 1

DR 82599-73-3

MF Unspecified

CI MAN

SR CA

LC STN Files: ADISNEWS, AGRICOLA, BIOBUSINESS, BIOSIS, BIOTECHNO, CA,  
CAPLUS, CIN, EMBASE, PROMT, TOXCENTER, USPATFULL

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

593 REFERENCES IN FILE CA (1962 TO DATE)

9 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

596 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 137:310922

REFERENCE 2: 137:306642

REFERENCE 3: 137:292657

REFERENCE 4: 137:276301

REFERENCE 5: 137:273345

REFERENCE 6: 137:261783

REFERENCE 7: 137:261469

REFERENCE 8: 137:260705

REFERENCE 9: 137:246022

REFERENCE 10: 137:230420

L14 ANSWER 96 OF 98 REGISTRY COPYRIGHT 2002 ACS

RN 72162-84-6 REGISTRY

CN Peptidase, proline endo- (9CI) (CA INDEX NAME)

OTHER NAMES:

CN APP protein endopeptidase

CN Chorionic peptidase-1

CN E.C. 3.4.21.26

CN Endoprollylpeptidase



CN Oligopeptidase B  
 CN Postproline endopeptidase  
 CN Postproline-cleaving enzyme  
 CN Proline endopeptidase  
 CN Proline-specific endopeptidase  
 CN Prolyl endopeptidase  
 CN Prolyl oligopeptidase  
 CN Tc80 prolyl endopeptidase  
 CN Tc80 protease  
 DR 74506-45-9  
 MF Unspecified  
 CI MAN  
 LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, BIOBUSINESS, BIOSIS, BIOTECHNO,  
 CA, CAPLUS, CASREACT, CHEMCATS, CIN, EMBASE, PROMT, TOXCENTER, USPATFULL

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

581 REFERENCES IN FILE CA (1962 TO DATE)

4 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

585 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 137:309902

REFERENCE 2: 137:307036

REFERENCE 3: 137:304644

REFERENCE 4: 137:291657

REFERENCE 5: 137:279043

REFERENCE 6: 137:274061

REFERENCE 7: 137:241671

REFERENCE 8: 137:228604

REFERENCE 9: 137:182334

REFERENCE 10: 137:165380

L14 ANSWER 97 OF 98 REGISTRY COPYRIGHT 2002 ACS

RN 37205-61-1 REGISTRY

CN Proteinase inhibitor (9CI) (CA INDEX NAME)

OTHER NAMES:

CN Antiproteinase

CN Fu Gu Tai

CN Protease inhibitor

DR 139074-30-9, 144716-05-2, 144132-75-2

MF Unspecified

CI MAN

LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, BIOBUSINESS, BIOSIS, BIOTECHNO,  
 CA, CAPLUS, CEN, CIN, DIOGENES, EMBASE, IFICDB, IFIPAT, IFIUDB, PROMT,  
 TOXCENTER, USPAT2, USPATFULL

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

4741 REFERENCES IN FILE CA (1962 TO DATE)

90 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

4752 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 137:309479

REFERENCE 2: 137:306026

REFERENCE 3: 137:306025  
REFERENCE 4: 137:293697  
REFERENCE 5: 137:293080  
REFERENCE 6: 137:291850  
REFERENCE 7: 137:277305  
REFERENCE 8: 137:275965  
REFERENCE 9: 137:273829  
REFERENCE 10: 137:262109

L14 ANSWER 98 OF 98 REGISTRY COPYRIGHT 2002 ACS

RN 9004-06-2 REGISTRY

CN Elastase (9CI) (CA INDEX NAME)

OTHER NAMES:

CN E.C. 3.4.21.11

CN E.C. 3.4.21.36

CN E.C. 3.4.21.37

CN E.C. 3.4.24.65

CN E.C. 3.4.4.7

CN Elastase 2

CN Elaszym

CN Macrophage metalloelastase

CN Matrix metalloprotease 12

CN Matrix metalloproteinase-12

CN Medullasin

CN MMP 12

CN Neutrophil Elastase

CN Pancreatopeptidase E

CN Peptidase, pancreato-, E

CN Proteinase, bone marrow serine

DR 9001-21-2, 139074-64-9, 75603-19-9, 83682-98-8

MF Unspecified

CI COM, MAN

LC STN Files: ADISNEWS, AGRICOLA, ANABSTR, BIOBUSINESS, BIOSIS, BIOTECHNO,  
CA, CABA, CAPLUS, CASREACT, CBNB, CEN, CHEMCATS, CHEMLIST, CIN, CSCHEM,  
DDFU, DRUGU, EMBASE, IFICDB, IFIPAT, IFIUDB, IPA, MRCK\*, NAPRALERT,  
NIOSHTIC, PHAR, PIRA, PROMT, RTECS\*, TOXCENTER, USAN, USPAT2, USPATFULL  
(\*File contains numerically searchable property data)

Other Sources: EINECS\*\*, TSCA\*\*

(\*\*Enter CHEMLIST File for up-to-date regulatory information)

\*\*\* STRUCTURE DIAGRAM IS NOT AVAILABLE \*\*\*

7362 REFERENCES IN FILE CA (1962 TO DATE)

257 REFERENCES TO NON-SPECIFIC DERIVATIVES IN FILE CA

7367 REFERENCES IN FILE CAPLUS (1962 TO DATE)

REFERENCE 1: 137:316147  
REFERENCE 2: 137:315996  
REFERENCE 3: 137:311201  
REFERENCE 4: 137:309438  
REFERENCE 5: 137:308602  
REFERENCE 6: 137:307868

REFERENCE 7: 137:307141  
REFERENCE 8: 137:306026  
REFERENCE 9: 137:305963  
REFERENCE 10: 137:293477